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(54) **TRIAZOLE DERIVATIVE, INSECTICIDAL/ACARICIDAL AGENT, AND PROCESS FOR PRODUCING THE**

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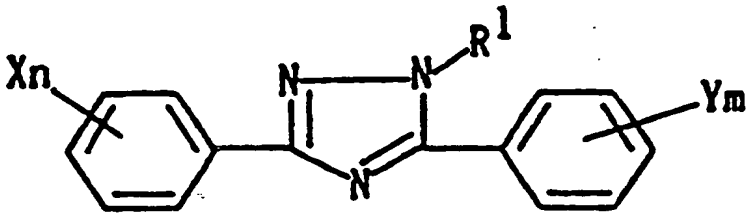
SAME.

(57) A triazole derivative represented by general formula (I) and an insecticidal/acaricidal agent containing the same as the active ingredient, wherein R^1 represents alkyl; X represents hydrogen, halogen or alkyl; n represents an integer of 1 to 5; Y represents halogen, nitro or alkyl; and m represents an integer of 2 to 5. This derivative has an excellent drug action on various detrimental insects, in particular, aphids and spider mites.



(I)

[TECHNICAL FIELD]

This invention relates to triazole derivatives, insecticides and acaricides containing them as an active ingredient, and methods thereof.

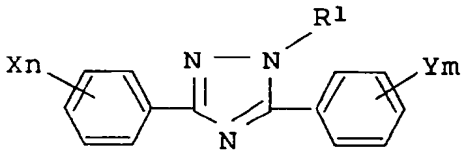
[BACKGROUND ART]

As a prior art including compounds similar to the compound according to the invention in the chemical structure, there have hitherto been known the specification of JP-A-56-154464 and technical report RD 278004. They disclose that the compounds have insecticidal and acaricidal activities. However, it can not be said that the compounds described in the above opened specification and technical report are sufficient in the insecticidal and acaricidal activities.

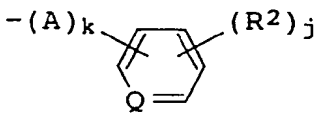
[DISCLOSURE OF INVENTION]

The inventors have synthesized various triazole derivatives in order to develop novel and useful insecticide and acraricide and made various studies with respect to physiological activity thereof. As a result, it has been found that the compounds according to the invention have very excellent insecticidal and acaricidal activities against harmful insects and harmful mites as compared with the compounds concretely described in the specification of JP-A-56-154464 and technical report RD 278004. Particularly, it has been found that they are characterized by having plural substituent groups on a benzene ring substituted in 5-position of a triazole ring and have a very excellent insecticidal activity against mites such as two-spotted spider mite, Kanzawa spider mite, citrus red mite and the like; aphids such as cotton aphid and the like; and lepidoptera pests such as diamond-back moth and the like, and as a result the invention has been accomplished.

The invention lies in a triazole derivative represented by a general formula [I]



{wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group, a nitro group, a cyano group or a trifluoromethyl group, n is an integer of 1-5, provided that when n is 2 or more, X may optionally be same or different combination, Y is a halogen atom, a nitro group, an alkyl group, an alkoxy group, an alkoxyalkyl group, an alkoxyalkoxy group, an alkylthio group, an alkylsulfinyl group, an alkylsulfonyl group, an alkylthioalkyl group, an alkylsulfinylalkyl group, an alkylsulfonylalkyl group, a cycloalkyl group, a cycloalkylalkyl group, a cycloalkylalkenyl group, a cycloalkylalkynyl group, a haloalkyl group, a haloalkoxy group, a trialkylsilylalkyl group, a trialkylsilylalkoxy group, an alkenyl group, an alkenyloxy group, an alkynyl group, an alkynyloxy group or a group represented by a general formula



(wherein A is an oxygen atom, a sulfur atom, a lower alkylene group, a lower alkyleneoxy group, an oxy lower alkylene group, a lower alkyleneoxy lower alkylene group, a lower alkylenethio group, a thio lower alkylene group, a vinylene group or an ethynylene group, k is 0 or 1, Q is a methine group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, a trifluoromethyl group or a trifluoromethoxy group, j is an integer of 1-5, provided that when j is 2 or more, R^2 may optionally be same or different combination), m is an integer of 2-5 and Y may optionally be same or different combination},

and insecticides and acaricides containing the derivative as an active ingredient and methods thereof.

In this specification, the alkyl group means a straight or branched-chain alkyl group having a carbon number of 1-30 and includes, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group, t-butyl group, n-pentyl group, isoamyl group, neopentyl group, n-hexyl group, isohexyl group, 3,3-dimethylbutyl group, n-heptyl group, 5-methylhexyl group, 4-methylhexyl group, 3-methylhexyl group, 4,4-dimethylpentyl group, n-octyl group, 6-methylheptyl group, n-nonyl group, 7-methyloctyl group, n-decyl group, 8-methylnonyl group, n-undecyl group, 9-methyldecyl group, n-dodecyl group, 10-methylundecyl group, n-tridecyl group, 11-methyldodecyl group, n-tetradecyl group, 12-methyltridecyl group, n-pentadecyl group, 13-methyltetradecyl group, n-hexadecyl group, n-heptadecyl group, n-octadecyl group, n-nonadecyl group, n-eicosyl group and the like.

The alkoxy group, alkylthio group, alkylsulfinyl group and alkylsulfonyl group are (alkyl)-O-group, (alkyl)-S-group, (alkyl)-SO-group and (alkyl)-SO_2-group, in which each alkyl portion has the same meaning as mentioned above. The alkylthioalkyl group, alkylsulfinylalkyl group and alkylsulfonylalkyl group are (alkyl)-S-(alkyl)-group, (alkyl)-SO-(alkyl)-group and (alkyl)-SO_2-(alkyl)-group, in which each alkyl portion has the same meaning as mentioned above. The halogen atom includes fluorine, chlorine, bromine and iodine.

The alkenyl group means a straight or branched-chain alkenyl group having a carbon number of 2-20 and includes, for example, vinyl group, propenyl group, isopropenyl group, butenyl group, pentenyl group, hexenyl group, heptenyl group, octenyl group, 3-methyl-1-butenyl group, 4-methyl-1-pentenyl group and the like.

The alkynyl group means a straight or branched-chain alkynyl group having a carbon number of 2-20 and includes, for example, ethynyl group, propynyl group, butynyl group, pentynyl group, hexynyl group, 3,3-dimethyl-1-butynyl group, 4-methyl-1-pentynyl group, 3-methyl-1-pentynyl group, 5-methyl-1-hexynyl group, 4-methyl-1hexynyl group, 3-methyl-1-hexynyl group, heptynyl group, octynyl group, nonynyl group, decynyl group, undecynyl group, dodecynyl group, tridecynyl group, tetradecynyl group, pentadecynyl group, hexadecynyl group and the like.

The cycloalkyl group means a cycloalkyl group having a carbon number of 3-12 and includes, for example, cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group, cyclooctyl group and the like. The cycloalkylalkyl group means a cycloalkylalkyl group having a carbon number of 6-12 and includes, for example, cyclopentylmethyl group, cyclohexylmethyl group, cyclopentylethyl group, cyclohexylethyl group, cyclopentylpropyl group, cyclohexylpropyl group, cyclohexylpentyl group and the like.

The cycloalkylalkoxy group means (cycloalkylalkyl)-O-group in which the cycloalkylalkyl portion has the same meaning as mentioned above. The cycloalkylalkenyl group means a cycloalkylalkenyl group having a carbon number of 5-12 and includes, for example, cyclopentylvinyl group, cyclohexylvinyl group, 3-cyclopentyl-1-propenyl group, 3-cyclohexyl-1-propenyl group, 5-cyclohexyl-1-pentenyl group and the like. The cycloalkylalkynyl group means a cycloalkylalkynyl group having a carbon number of 5-12 and includes, for example, cyclopentylethynyl group, cyclohexylethynyl group, 3-cyclopentyl-1-propynyl group, 3-cyclohexyl-1-propynyl group and the like.

The haloalkyl group means an alkyl group substituted with a halogen atom and includes, for example, trifluoromethyl group, pentafluoroethyl group and the like. The haloalkoxy group is (haloalkyl)-O-group in which the haloalkyl portion has the same meaning as described above.

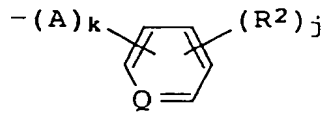
The trialkylsilylalkyl group is, for example, trimethylsilylmethyl group, dimethylethylsilylmethyl group, butyldimethylsilylmethyl group or the like. The trialkylsilylalkoxy group is (trialkylsilylalkyl)-O-group in which the trialkylsilylalkyl portion has the same meaning as described above.

The lower alkylene group includes, for example, methylene group, ethylene group, methylmethylene group, trimethylene group, 1-methylethylene group, dimethylmethylene group, tetramethylene group, 1-methyltrimethylene group, 2-methyltrimethylene group and the like. The lower alkyleneoxy group is -(lower alkylene)-O-group in which the lower alkylene portion has the same meaning as described above. The oxy lower alkylene group is -O-(lower alkylene)-group in which the lower alkylene portion has the same meaning as described above.

The lower alkyleneoxy lower alkylene group is - (lower alkylene)-O-(lower alkylene)-group in which the lower alkylene portion has the same meaning as described above. The lower alkylenethio group is -(lower alkylene)-S-group in which the lower alkylene portion has the same meaning as described above. The thio lower alkylene group is -S-(lower alkylene)-group in which the lower alkylene portion has the same meaning as described above.

As a preferable compound group in the general formula [I], mention may be made of compounds in which R^1 is a straight or branched-chain alkyl group having a carbon number of 1-6, preferably methyl group, X is a hydrogen atom, a halogen atom, a straight or branched-chain alkyl group having a carbon

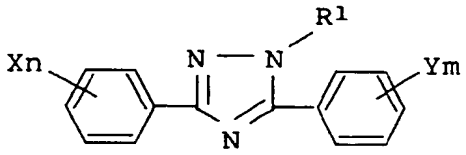
number of 1-4, a nitro group, a cyano group or a trifluoromethyl group, n is an integer of 1-3 provided that when n is 2 or 3, X may optionally be same or different combination, Y is a halogen atom, a nitro group, a straight or branched-chain alkyl group having a carbon number of 1-20, a straight or branched-chain alkoxy group having a carbon number of 1-20, a cycloalkyl group having a carbon number of 3-12, a cycloalkylalkyl group having a carbon number of 6-12, a cycloalkylalkoxy group having a carbon number of 3-12, a straight or branched-chain alkylthio group having a carbon number of 1-20, an alkylsulfinyl group, an alkylsulfonyl group, a straight or branched-chain alkynyl group having a carbon number of 3-16, a cycloalkylalkynyl group having a carbon number of 5-12, tri(alkyl)silylalkyl group, tri(alkyl)silylalkoxy group or a group represented by the formula:



(wherein A is an oxygen atom, a sulfur atom, a lower alkylene group, a lower alkyleneoxy group, an oxy lower alkylene group or a lower alkyleneoxy lower alkylene group, k is 0 or 1, Q is methine group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, a trifluoromethyl group or a trifluoromethoxy group, j is an integer of 1-5 provided that when j is 2 or more, R^2 may optionally be same or different combination), m is an integer of 2-5 and Y may optionally be same or different combination.

The compounds of the general formula [I] according to the invention are exemplified in Tables 1-2. Moreover, compound number is referred in subsequent description.

Table 1



Compound No.	R^1	Xn	Ym	Melting point (°C) or refractive index (n_D^{20})
1	CH_3	2-Cl	2-Cl, 3-NO_2	124.0-125.0
2	CH_3	2-Cl, 6-F	2-Cl, 3-NO_2	112.0-114.0
3	CH_3	2-Cl	2-Cl, 4-NO_2	
4	CH_3	2-Cl, 6-F	2-Cl, 4-NO_2	144.0-148.0
5	CH_3	2-Cl	2-Cl, 5-NO_2	129.0-130.0
6	CH_3	2-Cl, 6-F	2-Cl, 5-NO_2	121.0-124.0
7	CH_3	2-Cl	3-NO_2, 4-Cl	
8	CH_3	2-Cl, 6-F	3-NO_2, 4-Cl	124.0-126.5
9	CH_3	2-Cl	2,6-Cl_2, 3-NO_2	155.0-156.0
10	CH_3	2-Cl, 6-F	2,6-Cl_2, 3-NO_2	108.0-109.0
11	CH_3	2-Cl	2,6-Cl_2, 4-NO_2	
12	CH_3	2-Cl, 6-F	2,6-Cl_2, 4-NO_2	158.0-162.0
13	CH_3	2-Cl	2,4-Cl_2, 3,5-$(NO_2)_2$	
14	CH_3	2-Cl, 6-F	2,4-Cl_2, 3,5-$(NO_2)_2$	
15	CH_3	2-Cl	2-Cl, 4-C_2H_5	75.5-77.5
16	CH_3	2-Cl, 6-F	2-Cl, 4-C_2H_5	1.5930
17	CH_3	2-Cl, 6-F	3-Cl, 4-C_2H_5	
18	CH_3	2-Cl	2-Cl, 4-C_3H_7	70.0-72.0
19	CH_3	2-Cl, 6-F	2-Cl, 4-C_3H_7	1.5868
20	C_2H_5	2-Cl	2-Cl, 4-C_3H_7	
21	C_2H_5	2-Cl, 6-F	2-Cl, 4-C_3H_7	
22	C_3H_7-i	2-Cl	2-Cl, 4-C_3H_7	
23	C_3H_7-i	2-Cl, 6-F	2-Cl, 4-C_3H_7	
24	CH_3	2-Cl	2-Cl, 4-C_3H_7-i	90.0-92.0
25	CH_3	2-Cl, 6-F	2-Cl, 4-C_3H_7-i	
26	CH_3	2-Cl	2,4,6-$(C_3H_7$-i$)_3$	
27	CH_3	2-Cl, 6-F	2,4,6-$(C_3H_7$-i$)_3$	

Table 1 (continued)

Compound No.	R^1	Xn	Ym	Melting point (°C) or refractive index (n_D^{20})
28	CH_3	2-Cl	2-Cl, 4-C_4H_9	1.5962
29	CH_3	2-Cl, 6-F	2-Cl, 4-C_4H_9	1.5667
30	CH_3	H	2-Cl, 5-C_4H_9-t	
31	CH_3	2-Cl	2-Cl, 5-C_4H_9-t	1.5931
32	CH_3	2-Cl,6-F	2-Cl, 5-C_4H_9-t	1.5943
33	CH_3	2,6-F_2	2-OC_2H_5, 4-C_4H_9-t	146.0-148.0
34	CH_3	2-Cl	2-OC_2H_5, 4-C_4H_9-t	1.5818
35	CH_3	2-Cl, 6-F	2-OC_2H_5, 4-C_4H_9-t	108.0-111.0
36	CH_3	2,6-F_2	2-Cl, 4-C_5H_{11}	1.5629
37	CH_3	2-Cl	2-Cl, 4-C_5H_{11}	1.5849
38	CH_3	2-Cl, 6-F	2-Cl, 4-C_5H_{11}	1.5710
39	CH_3	2,6-F_2	2-Cl, 4-C_6H_{13}	1.5591
40	CH_3	2-Cl	2-Cl, 4-C_6H_{13}	1.5830
41	CH_3	2-Cl, 6-F	2-Cl, 4-C_6H_{13}	1.5638
42	CH_3	2-Cl	2-F, 5-C_6H_{13}	1.5779
43	CH_3	2-Cl, 6-F	2-F, 5-C_6H_{13}	1.5608
44	CH_3	2-Cl	2-Cl, 4-C_7H_{15}	1.5824
45	CH_3	2-Cl, 6-F	2-Cl, 4-C_7H_{15}	
46	CH_3	2,6-F_2	2-F, 5-$C_{11}H_{23}$	70.0-73.0
47	CH_3	2-Cl	2-F, 5-$C_{11}H_{23}$	35.0-37.0
48	CH_3	2-Cl, 6-F	2-F, 5-$C_{11}H_{23}$	1.5419
49	CH_3	2,6-F_2	2-Cl, 4-$C_{12}H_{25}$	
50	CH_3	2-Cl	2-Cl, 4-$C_{12}H_{25}$	76.0-77.0
51	CH_3	2-Cl, 6-F	2-Cl, 4-$C_{12}H_{25}$	1.5490
52	CH_3	2-Cl, 6-F	3-Cl, 4-$C_{15}H_{31}$	
53	CH_3	H	3,5-$(OC_2H_5)_2$	
54	CH_3	2-CH_3	3,5-$(OC_2H_5)_2$	
55	CH_3	2-OCH_3	3,5-$(OC_2H_5)_2$	
56	CH_3	2-SCH_3	3,5-$(OC_2H_5)_2$	
57	CH_3	2-NO_2	3,5-$(OC_2H_5)_2$	
58	CH_3	2-CN	3,5-$(OC_2H_5)_2$	

Table 1 (continued)

Compound No.	R^1	Xn	Ym	Melting point (°C) or refractive index (n_D^{20})
59	CH_3	2-CF_3	3,5-$(OC_2H_5)_2$	
60	CH_3	2-Cl	2-OC_2H_5, 4,5-Cl_2	
61	CH_3	2-Cl, 6-F	2-OC_2H_5,4,5-Cl_2	115.0-117.0
62	CH_3	2-Cl	2-OC_2H_5, 4,5-F_2	
63	CH_3	2-Cl,6-F	2-OC_2H_5, 4,5-F_2	1.5590
64	CH_3	2-Cl	2-Cl, 4-OC_4H_9	60.0-62.0
65	CH_3	2-Cl, 6-F	2-Cl, 4-OC_4H_9	1.5631
66	CH_3	2-Cl	2-Cl, 4-OC_5H_{11}	71.0-73.0
67	CH_3	2-Cl, 6-F	2-Cl, 4-OC_5H_{11}	
68	CH_3	2-Cl, 6-F	3-OC_5H_{11}, 4-Cl	not measurable
69	CH_3	2-Cl, 6-F	3-OC_5H_{11}-i, 4-Cl	
70	CH_3	2-Cl	3,5-$(OC_5H_{11})_2$	
71	CH_3	2-Cl, 6-F	3,5-$(OC_5H_{11})_2$	
72	CH_3	2,6-Cl_2	2-Cl, 4-OC_8H_{17}	1.5728
73	CH_3	2-Cl	2-Cl, 4-OC_8H_{17}	51.0-54.0
74	CH_3	2-Cl, 6-F	2-Cl, 4-OC_8H_{17}	1.5641
75	CH_3	2-Cl	3-Cl, 4-OC_8H_{17}	47.0-49.0
76	CH_3	2-Cl, 6-F	3-Cl, 4-OC_8H_{17}	1.5658
77	CH_3	2-Cl	3-OC_8H_{17}, 4-Cl	not measurable
78	CH_3	2-Cl, 6-F	3-OC_8H_{17}, 4-Cl	1.5658
79	CH_3	2-Cl	3,5-$(OC_8H_{17})_2$	
80	CH_3	2-Cl, 6-F	3,5-$(OC_8H_{17})_2$	
81	CH_3	2-NO_2	3-Cl, 4-$OC_{12}H_{25}$	
82	CH_3	2-SCH_3	3-Cl, 4-$OC_{12}H_{25}$	
83	CH_3	2-Cl	3-Cl, 4-$OC_{15}H_{31}$	
84	CH_3	2-Cl, 6-F	3-Cl, 4-$OC_{15}H_{31}$	
85	CH_3	2-Cl, 6-F	3-Cl, 4-CH_2OCH_3	
86	CH_3	2-Cl, 6-F	3-Cl, 4-$(CH_2)_3OC_3H_7$	
87	CH_3	2-Cl	2-Cl, 4-$OC_2H_4OCH_3$	1.5946
88	CH_3	2-Cl, 6-F	2-Cl, 4-$OC_2H_4OCH_3$	
89	CH_3	2-Cl,6-F	3-SCH_3, 4-Cl	

Table 1 (continued)

Compound No.	R^1	Xn	Ym	Melting point (°C) or refractive index (n_D^{20})
90	CH_3	2-Cl, 6-F	3-SC_3H_7, 4-Cl	
91	CH_3	2-Cl, 6-F	3-SC_6H_{13}, 4-Cl	
92	CH_3	2-Cl, 6-F	3-$SOCH_3$, 4-Cl	
93	CH_3	2-Cl, 6-F	3-SOC_3H_7, 4-Cl	
94	CH_3	2-Cl, 6-F	3-SOC_6H_{13}, 4-Cl	
95	CH_3	2-Cl, 6-F	3-SO_2CH_3, 4-Cl	
96	CH_3	2-Cl, 6-F	3-$SO_2C_3H_7$, 4-Cl	
97	CH_3	2-Cl, 6-F	3-$SO_2C_6H_{13}$, 4-Cl	
98	CH_3	2-Cl, 6-F	3-CH_2SCH_3, 4-C_2H_5	
99	CH_3	2-Cl, 6-F	3-$CH_2SC_3H_7$, 4-C_2H_5	
100	CH_3	2-Cl	3-Br, 4-$CH_2SC_5H_{11}$	
101	CH_3	2-Cl, 6-F	3-Br, 4-$CH_2SC_5H_{11}$	
102	CH_3	2-Cl, 6-F	3-$CH_2SC_6H_{13}$, 4-C_2H_5	
103	CH_3	2-Cl, 6-F	3-CH_2SOCH_3, 4-C_2H_5	
104	CH_3	2-Cl, 6-F	3-$CH_2SOC_3H_7$, 4-C_2H_5	
105	CH_3	2-Cl	3-Br, 4-$CH_2SOC_5H_{11}$	
106	CH_3	2-Cl, 6-F	3-Br, 4-$CH_2SOC_5H_{11}$	
107	CH_3	2-Cl, 6-F	3-$CH_2SOC_6H_{13}$, 4-C_2H_5	
108	CH_3	2-Cl	3-Br, 4-$CH_2SO_2C_5H_{11}$	
109	CH_3	2-Cl, 6-F	3-Br, 4-$CH_2SO_2C_5H_{11}$	
110	CH_3	2-Cl, 6-F	3-$CH_2SO_2CH_3$, 4-C_2H_5	
111	CH_3	2-Cl, 6-F	3-$CH_2SO_2C_3H_7$, 4-C_2H_5	
112	CH_3	2-Cl, 6-F	3-$CH_2SO_2C_6H_{13}$, 4-C_2H_5	
113	CH_3	2-Cl	2-Cl, 5-C_2F_5	
114	CH_3	2-Cl, 6-F	2-Cl, 5-C_2F_5	
115	CH_3	2-Cl	2-Cl, 5-C_4F_9	
116	CH_3	2-Cl, 6-F	2-Cl, 5-C_4F_9	1.5110
117	CH_3	2-Cl	3-C_4F_9, 4-Cl	
118	CH_3	2-Cl, 6-F	3-C_4F_9, 4-Cl	1.5392
119	CH_3	2-Cl	2-Cl, 5-C_6F_{13}	70.0-76.0
120	CH_3	2-Cl, 6-F	2-Cl, 5-C_6F_{13}	78.0-82.0

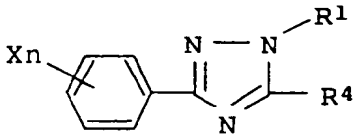
Table 1 (continued)

Compound No.	R1	Xn	Ym	Melting point (°C) or refractive index (n_D^{20})
121	CH_3	2-Cl, 6-F	2-F, 5-C_4F_9	1.5090
122	CH_3	2-Cl	3,5-Cl_2, 5-C_8F_{17}	
123	CH_3	2-Cl, 6-F	3,5-Cl_2, 5-$O(CF_2)_2H$	96.0-101.0
124	CH_3	2-Cl, 6-F	3-Cl, 4-$(CH_2)_2C_4F_9$	
125	CH_3	2-Cl	2-Cl, 5-OC_2F_5	
126	CH_3	2-Cl, 6-F	2-Cl, 5-OC_2F_5	
127	CH_3	2-Cl	3-Cl, 4-$O(CH_2)_2C_4F_9$	
128	CH_3	2-Cl, 6-F	3-Cl, 4-$O(CH_2)_2C_4F_9$	
129	CH_3	2-Cl	3-Br, 4-$CH_2Si(CH_3)_3$	
130	CH_3	2-Cl, 6-F	3-Br, 4-$CH_2Si(CH_3)_3$	
131	CH_3	2-Cl	3-Br, 4-$OCH_2Si(CH_3)_3$	
132	CH_3	2-Cl, 6-F	3-Br, 4-$OCH_2Si(CH3)_3$	
133	CH_3	2-Cl, 6-F	3-$CH=CH_2$, 4-Cl	
134	CH_3	2-Cl	3-Br, 4-$CH=CHCH_3$	
135	CH_3	2-Cl, 6-F	3-Br, 4-$CH=CHCH_3$	
136	CH_3	2-Cl, 6-F	3-$CH_2CH=CHC_3H_7$, 4-Cl	
137	CH_3	2-Cl, 6-F	3-$OCH=CH_2$, 4-Cl	
138	CH_3	2-Cl	2-Cl, 4-$OCH_2CH=CH_2$	1.6083
139	CH_3	2-Cl, 6-F	2-Cl, 4-$OCH_2CH=CH_2$	
140	CH_3	2-Cl, 6-F	3-$OCH_2CH=CHC_3H_7$, 4-Cl	
141	CH_3	2-Cl, 6-F	3-Cl, 4-$C\equiv CH$	
142	CH_3	2-Cl, 6-F	3-Cl, 4-$C\equiv CC_4H_9$	
143	CH_3	2-Cl, 6-F	3-Cl, 4-$OC\equiv CH$	
144	CH_3	2-Cl, 6-F	3-Cl, 4-$OC\equiv CC_4H_9$	
145	CH_3	2-Cl	2-Cl, 4-$OCH_2C\equiv CH$	103.5-105.0
146	CH_3	2-Cl, 6-F	2-Cl, 4-$OCH_2C\equiv CH$	

Table 1 (continued)

Compound No.	R^1	Xn	Ym	Melting point (°C) or refractive index (n_D^{20})
147	CH_3	2-Cl	2-Cl, 4- 	
148	CH_3	2-Cl, 6-F	2-Cl, 4- 	
149	CH_3	2-Cl	3-Br, 4-C_2H_4- 	
150	CH_3	2-Cl, 6-F	3-Br, 4-C_2H_4- 	
151	CH_3	2-Cl	3-Br, 4-CH=CH- 	
152	CH_3	2-Cl, 6-F	3-Br, 4-CH=CH- 	
153	CH_3	2-Cl	3-Br, 4-CH≡CH- 	
154	CH_3	2-Cl, 6-F	3-Br, 4-CH≡CH- 	

Table 2



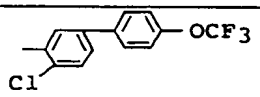
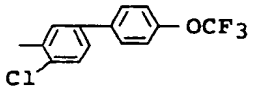
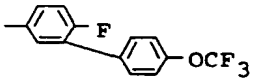
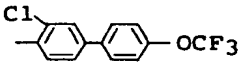
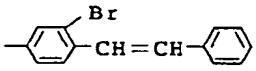
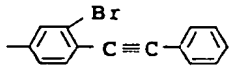
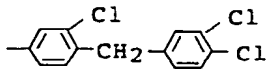
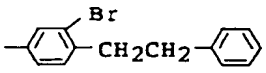
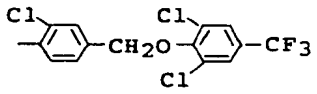
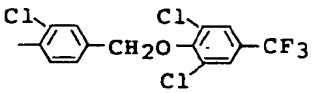
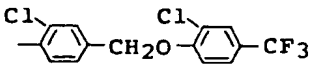
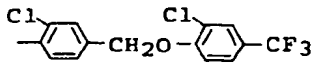
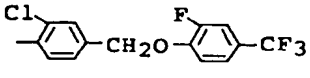
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
155	CH_3	2-Cl		
156	CH_3	2-Cl, 6-F		
157	CH_3	2-Cl, 6-F		117.0-119.0
158	CH_3	2-Cl, 6-F		1.5925
159	CH_3	2-Cl, 6-F		
160	CH_3	2-Cl, 6-F		
161	CH_3	2-Cl, 6-F		179.0-185.0
162	CH_3	2-Cl, 6-F		
163	CH_3	$2,6\text{-}F_2$		
164	CH_3	2-Cl, 6-F		
165	CH_3	$2,6\text{-}F_2$		
166	CH_3	2-Cl, 6-F		
167	CH_3	$2,6\text{-}F_2$		

Table 2 (continued)

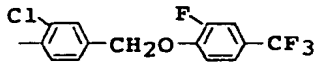
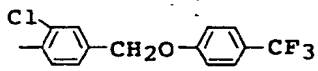
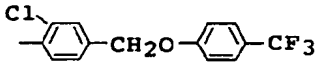
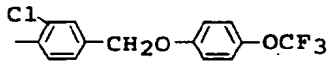
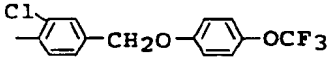
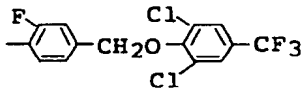
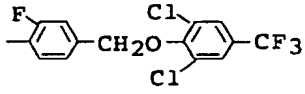
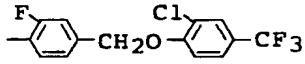
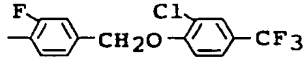
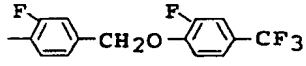
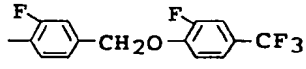
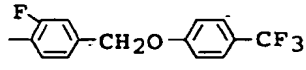
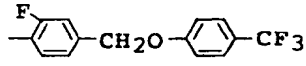
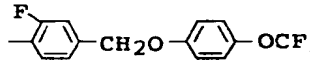
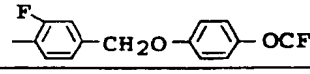
Compound No.	R^1	Xn	R^4	Melting p int (°C) or refractive index (n_D^{20})
168	CH_3	2-Cl, 6-F		
169	CH_3	2,6-F_2		
170	CH_3	2-Cl, 6-F		
171	CH_3	2,6-F_2		
172	CH_3	2-Cl, 6-F		
173	CH_3	2,6-F_2		
174	CH_3	2-Cl, 6-F		
175	CH_3	2,6-F_2		
176	CH_3	2-Cl, 6-F		
177	CH_3	2,6-F_2		
178	CH_3	2-Cl, 6-F		
179	CH_3	2,6-F_2		
180	CH_3	2-Cl, 6-F		
181	CH_3	2,6-F_2		
182	CH_3	2-Cl, 6-F		

Table 2 (continued)

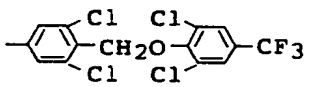
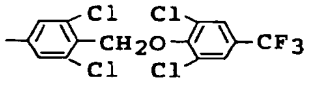
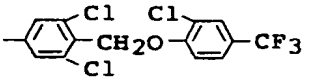
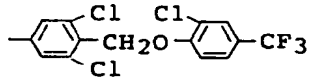
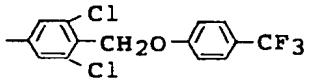
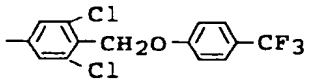
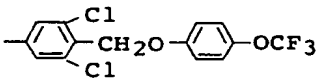
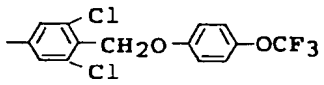
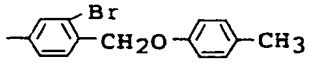
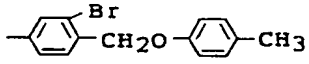
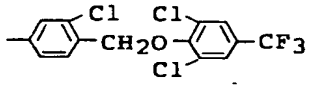
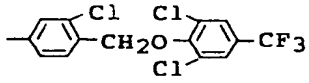
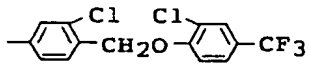
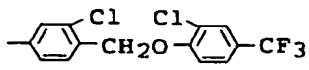
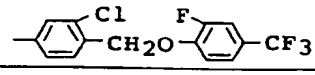
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
183	CH_3	2,6-F_2		
184	CH_3	2-Cl, 6-F		
185	CH_3	2,6-F_2		
186	CH_3	2-Cl, 6-F		
187	CH_3	2,6-F_2		
188	CH_3	2-Cl, 6-F		
189	CH_3	2,6-F_2		
190	CH_3	2-Cl, 6-F		
191	CH_3	2-Cl		
192	CH_3	2-Cl, 6-F		
193	CH_3	2,6-F_2		
194	CH_3	2-Cl, 6-F		
195	CH_3	2,6-F_2		
196	CH_3	2-Cl, 6-F		113.0-114.0
197	CH_3	2-Cl, 6-F		1.6010

Table 2 (continued)

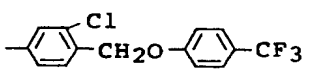
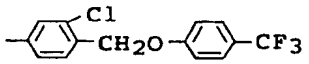
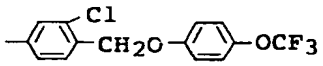
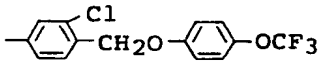
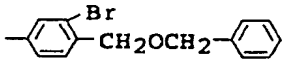
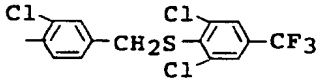
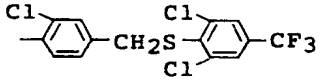
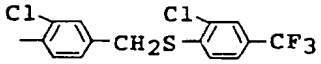
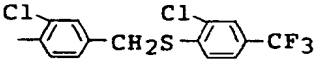
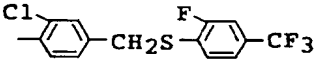
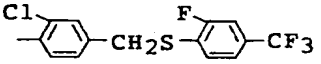
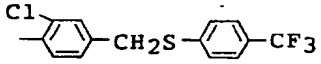
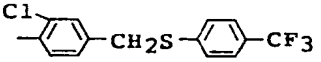
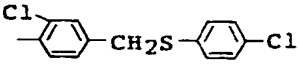
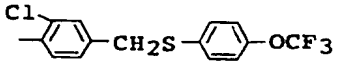
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
198	CH_3	2,6-F_2		
199	CH_3	2-Cl, 6-F		1.5961
200	CH_3	2,6-F_2		
201	CH_3	2-Cl, 6-F		1.5701
202	CH_3	2-Cl, 6-F		
203	CH_3	2,6-F_2		
204	CH_3	2-Cl, 6-F		
205	CH_3	2,6-F_2		
206	CH_3	2-Cl, 6-F		
207	CH_3	2,6-F_2		
208	CH_3	2-Cl, 6-F		
209	CH_3	2,6-F_2		
210	CH_3	2-Cl, 6-F		
211	CH_3	2-Cl, 6-F		
212	CH_3	2,6-F_2		

Table 2 (continued)

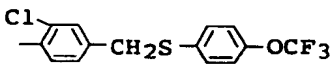
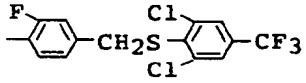
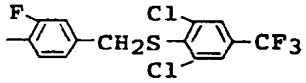
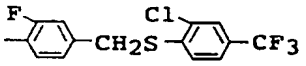
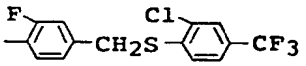
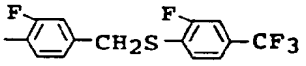
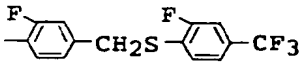
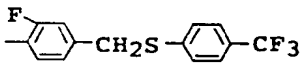
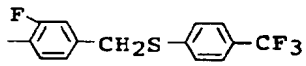
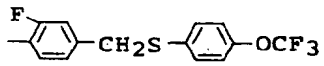
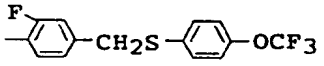
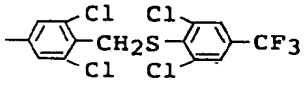
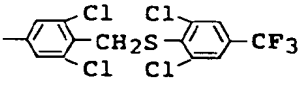
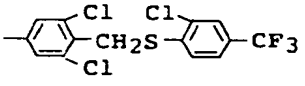
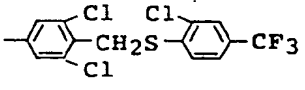
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
213	CH_3	2-Cl, 6-F		
214	CH_3	2,6-F_2		
215	CH_3	2-Cl, 6-F		
216	CH_3	2,6-F_2		
217	CH_3	2-Cl, 6-F		
218	CH_3	2,6-F_2		
219	CH_3	2-Cl, 6-F		
220	CH_3	2,6-F_2		
221	CH_3	2-Cl, 6-F		
222	CH_3	2,6-F_2		
223	CH_3	2-Cl, 6-F		
224	CH_3	2,6-F_2		
225	CH_3	2-Cl, 6-F		
226	CH_3	2,6-F_2		
227	CH_3	2-Cl, 6-F		

Table 2 (continued)

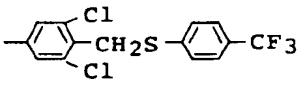
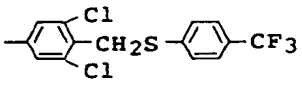
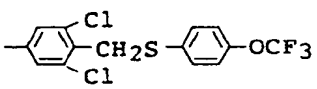
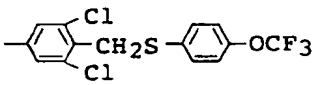
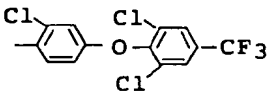
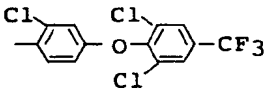
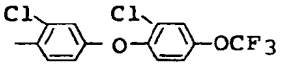
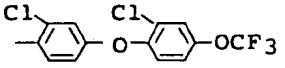
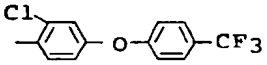
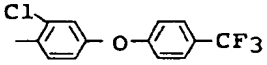
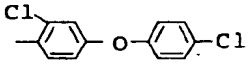
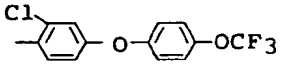
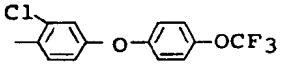
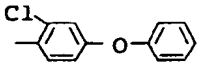
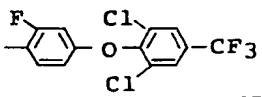
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
228	CH_3	2,6-F_2		
229	CH_3	2-Cl, 6-F		
230	CH_3	2,6-F_2		
231	CH_3	2-Cl, 6-F		
232	CH_3	2,6-F_2		
233	CH_3	2-Cl, 6-F		
234	CH_3	2,6-F_2		
235	CH_3	2-Cl, 6-F		
236	CH_3	2,6-F_2		
237	CH_3	2-Cl, 6-F		
238	CH_3	2-Cl, 6-F		
239	CH_3	2,6-F_2		
240	CH_3	2-Cl, 6-F		
241	CH_3	2-Cl, 6-F		135.0-140.0
242	CH_3	2,6-F_2		

Table 2 (continued)

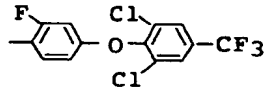
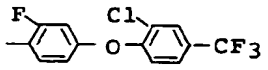
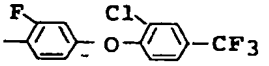
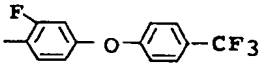
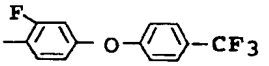
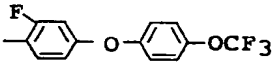
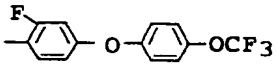
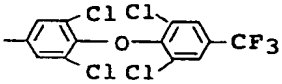
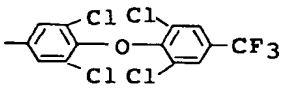
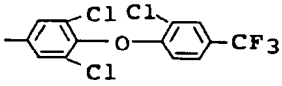
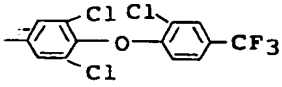
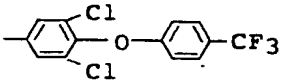
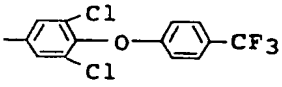
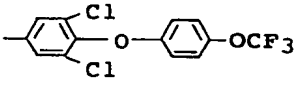
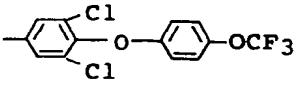
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
243	CH_3	2-Cl, 6-F		
244	CH_3	2,6-F_2		
245	CH_3	2-Cl, 6-F		
246	CH_3	2,6-F_2		
247	CH_3	2-Cl, 6-F		
248	CH_3	2,6-F_2		
249	CH_3	2-Cl, 6-F		
250	CH_3	2,6-F_2		
251	CH_3	2-Cl, 6-F		
252	CH_3	2,6-F_2		
253	CH_3	2-Cl, 6-F		
254	CH_3	2,6-F_2		
255	CH_3	2-Cl, 6-F		
256	CH_3	2,6-F_2		
257	CH_3	2-Cl, 6-F		

Table 2 (continued)

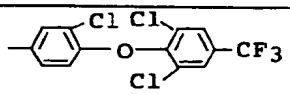
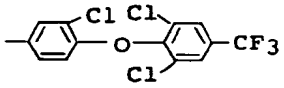
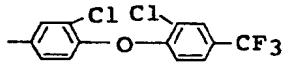
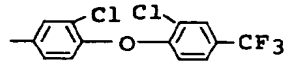
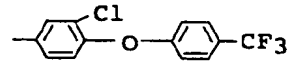
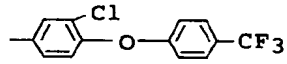
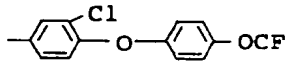
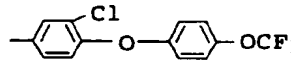
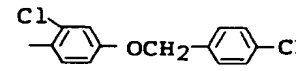
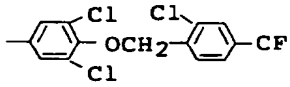
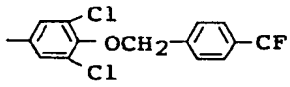
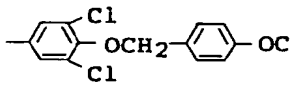
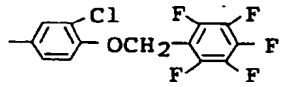
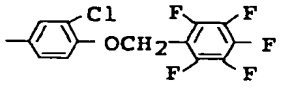
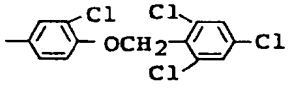
Compound No.	R^1	Xn	R^4	Melting p int (°C) or refractive index (n_D^{20})
258	CH_3	2,6-F_2		
259	CH_3	2-Cl, 6-F		67.0-72.0
260	CH_3	2,6-F_2		
261	CH_3	2-Cl, 6-F		
262	CH_3	2,6-F_2		
263	CH_3	2-Cl, 6-F		
264	CH_3	2,6-F_2		
265	CH_3	2-Cl, 6-F		
266	CH_3	2-Cl, 6-F		
267	CH_3	2-Cl, 6-F		101.0-107.0
268	CH_3	2-Cl, 6-F		115.0-120.0
269	CH_3	2-Cl, 6-F		74.0-77.0
270	CH_3	2-Cl		
271	CH_3	2-Cl, 6-F		
272	CH_3	2-Cl, 6-F		

Table 2 (continued)

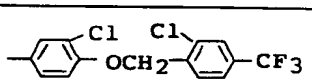
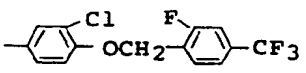
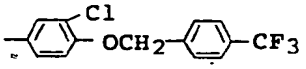
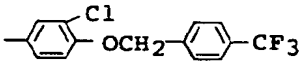
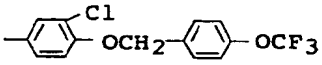
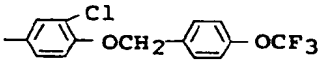
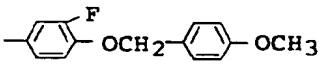
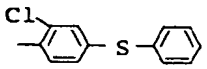
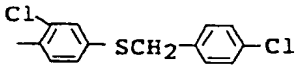
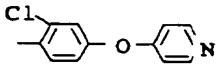
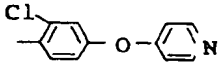
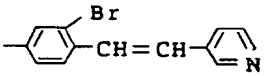
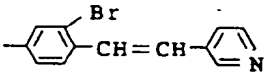
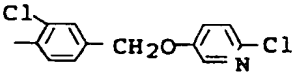
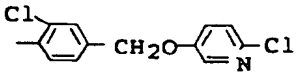
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
273	CH_3	2-Cl, 6-F		156.0-159.0
274	CH_3	2-Cl, 6-F		109.0-111.0
275	CH_3	2-Cl, 6-F		43.0-47.0
276	CH_3	2,6-F_2		171.0-177.0
277	CH_3	2-Cl, 6-F		1.5680
278	CH_3	2,6-F_2		132.0-136.0
279	CH_3	2-Cl, 6-F		
280	CH_3	2-Cl		
281	CH_3	2-Cl, 6-F		
282	CH_3	2-Cl		
283	CH_3	2-Cl, 6-F		
284	CH_3	2-Cl		
285	CH_3	2-Cl, 6-F		
286	CH_3	2,6-F_2		
287	CH_3	2-Cl, 6-F		

Table 2 (continued)

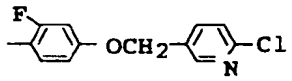
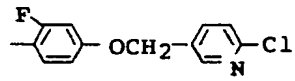
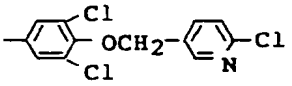
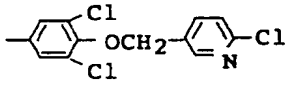
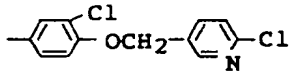
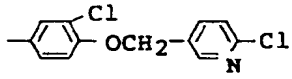
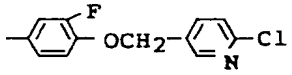
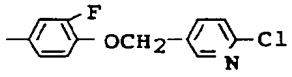
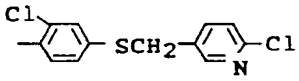
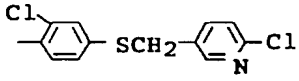
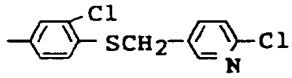
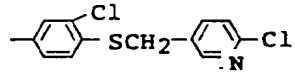
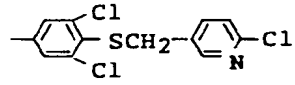
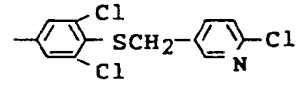
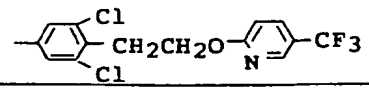
Compound No.	R^1	Xn	R^4	M lting point (°C) or refractive index (n_D^{20})
288	CH_3	2,6-F_2		
289	CH_3	2-Cl, 6-F		
290	CH_3	2,6-F_2		
291	CH_3	2-Cl, 6-F		
292	CH_3	2,6-F_2		
293	CH_3	2-Cl, 6-F		
294	CH_3	2,6-F_2		
295	CH_3	2-Cl, 6-F		
296	CH_3	2-Cl		
297	CH_3	2-Cl, 6-F		
298	CH_3	2,6-F_2		
299	CH_3	2-Cl, 6-F		
300	CH_3	2,6-F_2		
301	CH_3	2-Cl, 6-F		
302	CH_3	2,6-F_2		

Table 2 (continued)

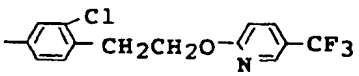
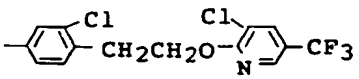
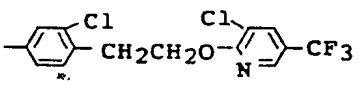
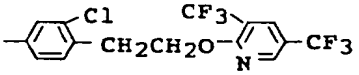
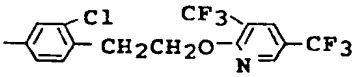
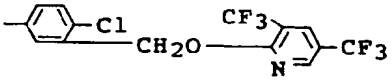
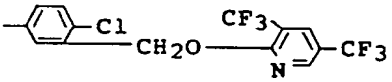
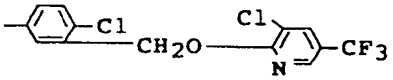
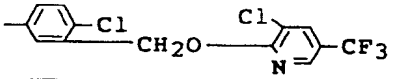
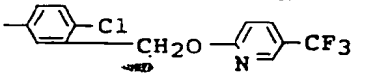
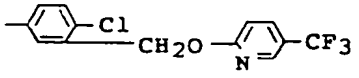
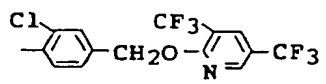
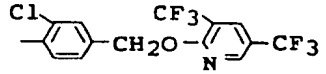
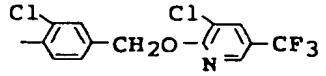
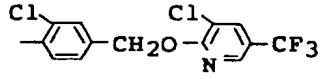
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
303	CH_3	2-Cl, 6-F		
304	CH_3	2,6-F_2		
305	CH_3	2-Cl, 6-F		
306	CH_3	2,6-F_2		
307	CH_3	2-Cl, 6-F		
308	CH_3	2,6-F_2		
309	CH_3	2-Cl, 6-F		
310	CH_3	2,6-F_2		
311	CH_3	2-Cl, 6-F		135.0-139.0
312	CH_3	2,6-F_2		
313	CH_3	2-Cl, 6-F		109.0-112.0
314	CH_3	2,6-F_2		
315	CH_3	2-Cl, 6-F		
316	CH_3	2,6-F_2		
317	CH_3	2-Cl, 6-F		not measurable

Table 2 (continued)

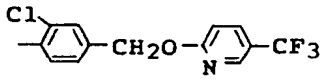
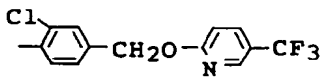
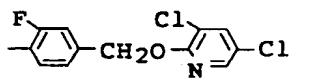
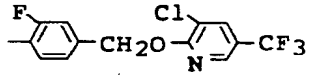
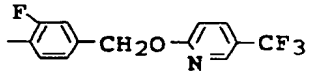
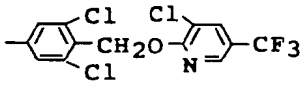
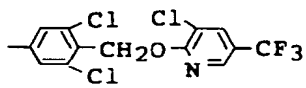
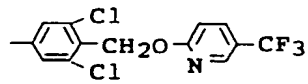
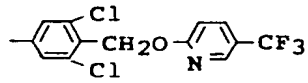
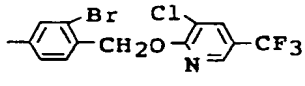
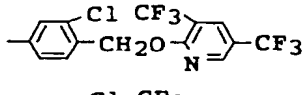
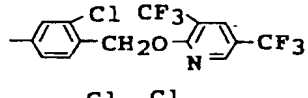
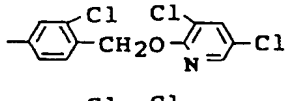
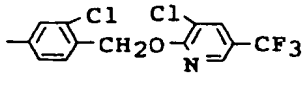
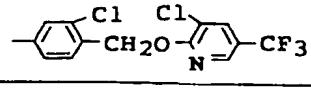
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
318	CH_3	2,6-F_2		
319	CH_3	2-Cl, 6-F		1.5698
320	CH_3	2-Cl, 6-F		123.5-126.0
321	CH_3	2-Cl, 6-F		1.5638
322	CH_3	2-Cl, 6-F		137.0-141.0
323	CH_3	2,6-F_2		
324	CH_3	2-Cl, 6-F		
325	CH_3	2,6-F_2		
326	CH_3	2-Cl, 6-F		
327	CH_3	2-Cl, 6-F		
328	CH_3	2,6-F_2		
329	CH_3	2-Cl, 6-F		
330	CH_3	2-Cl, 6-F		153.0-155.0
331	CH_3	2,6-F_2		
332	CH_3	2-Cl, 6-F		47.0-49.0

Table 2 (continued)

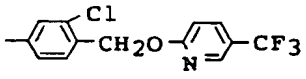
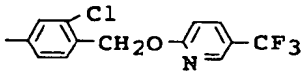
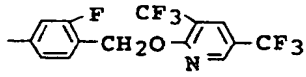
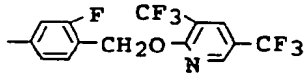
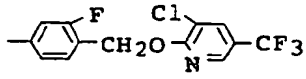
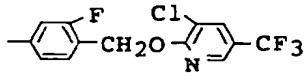
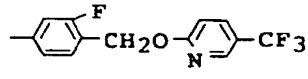
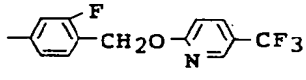
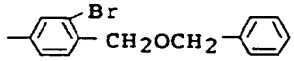
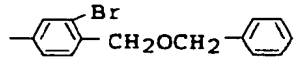
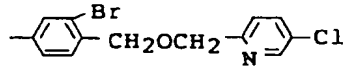
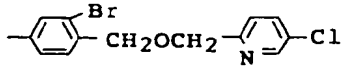
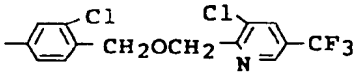
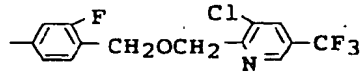
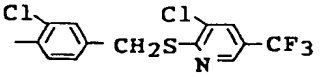
Compound No.	R^1	Xn	R^4	Melting p int (°C) or refractive index (n_D^{20})
333	CH_3	2,6-F_2		
334	CH_3	2-Cl, 6-F		1.5879
335	CH_3	2,6-F_2		
336	CH_3	2-Cl, 6-F		
337	CH_3	2,6-F_2		
338	CH_3	2-Cl, 6-F		88.0-90.0
339	CH_3	2,6-F_2		
340	CH_3	2-Cl, 6-F		
341	CH_3	2-Cl		
342	CH_3	2-Cl, 6-F		
343	CH_3	2-Cl		
344	CH_3	2-Cl, 6-F		
345	CH_3	2-Cl, 6-F		109.5-112.0
346	CH_3	2-Cl, 6-F		
347	CH_3	2,6-F_2		

Table 2 (continued)

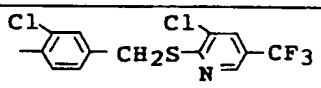
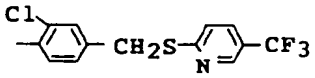
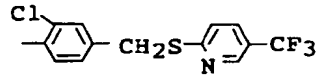
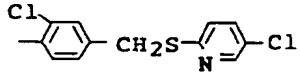
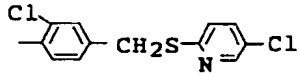
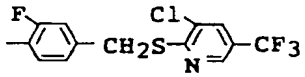
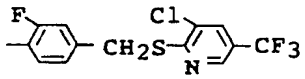
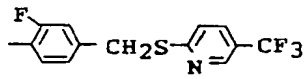
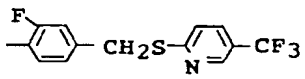
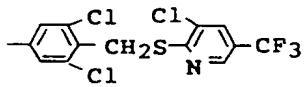
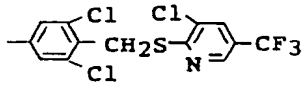
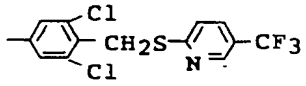
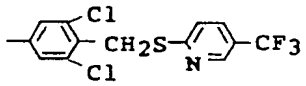
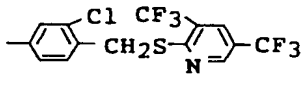
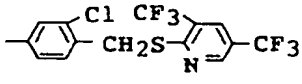
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
348	CH_3	2-Cl, 6-F		
349	CH_3	2,6-F_2		
350	CH_3	2-Cl, 6-F		
351	CH_3	2-Cl		
352	CH_3	2-Cl, 6-F		
353	CH_3	2,6-F_2		
354	CH_3	2-Cl, 6-F		
355	CH_3	2,6-F_2		
356	CH_3	2-Cl, 6-F		
357	CH_3	2,6-F_2		
358	CH_3	2-Cl, 6-F		
359	CH_3	2,6-F_2		
360	CH_3	2-Cl, 6-F		
361	CH_3	2,6-F_2		
362	CH_3	2-Cl, 6-F		

Table 2 (continued)

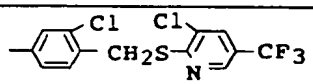
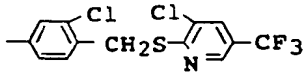
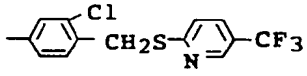
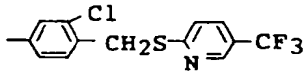
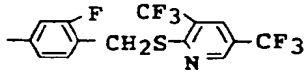
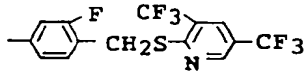
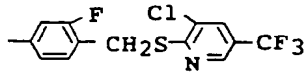
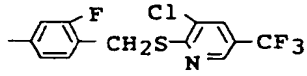
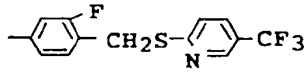
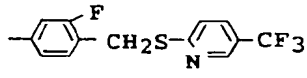
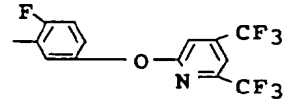
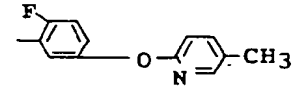
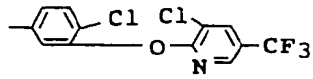
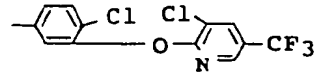
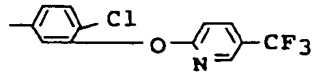
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
363	CH_3	2,6-F_2		
364	CH_3	2-Cl, 6-F		
365	CH_3	2,6-F_2		
366	CH_3	2-Cl, 6-F		
367	CH_3	2,6-F_2		
368	CH_3	2-Cl, 6-F		
369	CH_3	2,6-F_2		
370	CH_3	2-Cl, 6-F		
371	CH_3	2,6-F_2		
372	CH_3	2-Cl, 6-F		
373	CH_3	2-Cl, 6-F		1.5391
374	CH_3	2-Cl, 6-F		108.0-111.0
375	CH_3	2,6-F_2		
376	CH_3	2-Cl, 6-F		58.0-62.0
377	CH_3	2,6-F_2		not measurable

Table 2 (continued)

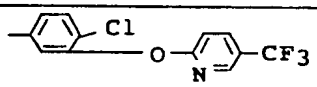
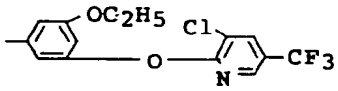
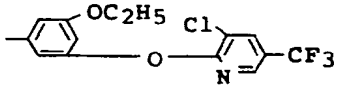
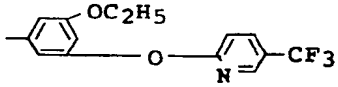
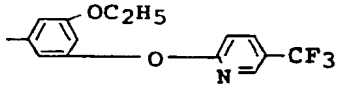
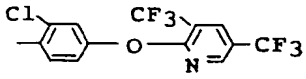
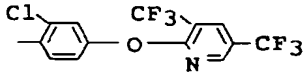
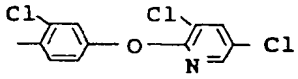
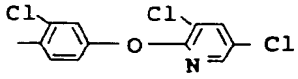
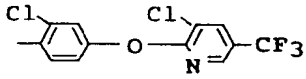
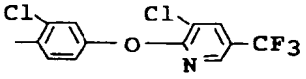
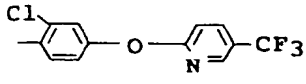
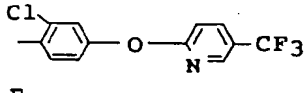
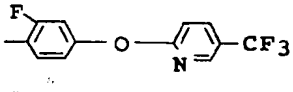
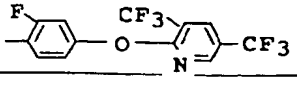
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
378	CH_3	2-Cl, 6-F		not measurable
379	CH_3	2,6-F_2		
380	CH_3	2-Cl, 6-F		
381	CH_3	2,6-F_2		
382	CH_3	2-Cl, 6-F		
383	CH_3	2,6-F_2		
384	CH_3	2-Cl, 6-F		
385	CH_3	2,6-F_2		
386	CH_3	2-Cl, 6-F		
387	CH_3	2,6-F_2		
388	CH_3	2-Cl, 6-F		
389	CH_3	2-Cl		104.0-108.0
390	CH_3	2-Cl, 6-F		139.0-141.0
391	CH_3	2-Cl, 6-F		110.0-112.0
392	CH_3	2,6-F_2		

Table 2 (continued)

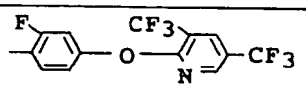
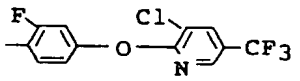
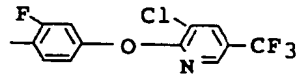
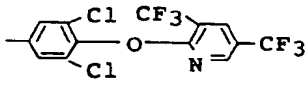
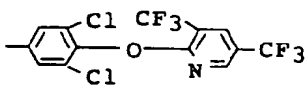
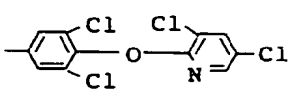
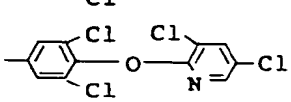
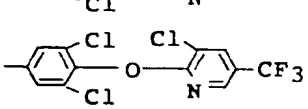
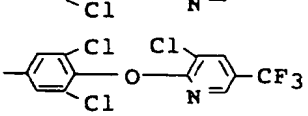
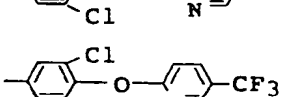
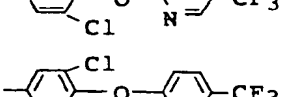
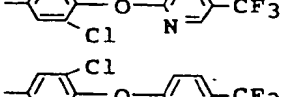
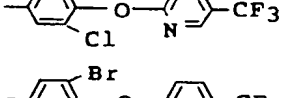
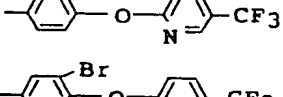
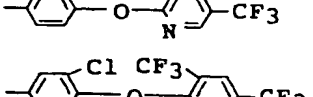
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
393	CH_3	2-Cl, 6-F		
394	CH_3	2,6-F_2		
395	CH_3	2-Cl, 6-F		127.0-132.0
396	CH_3	2,6-F_2		
397	CH_3	2-Cl, 6-F		
398	CH_3	2,6-F_2		
399	CH_3	2-Cl, 6-F		
400	CH_3	2,6-F_2		129.0-130.0
401	CH_3	2-Cl, 6-F		not measurable
402	CH_3	2,6-F_2		
403	CH_3	2-Cl		150.0-152.0
404	CH_3	2-Cl, 6-F		149.0-151.5
405	CH_3	2,6-F_2		
406	CH_3	2-Cl, 6-F		140.0-144.0
407	CH_3	2,6-F_2		

Table 2 (continued)

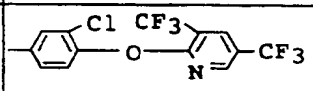
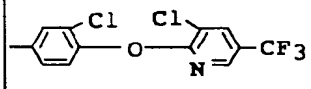
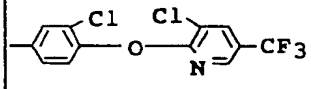
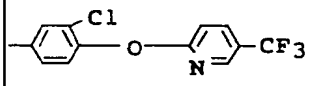
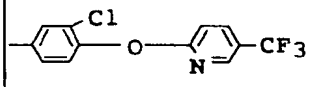
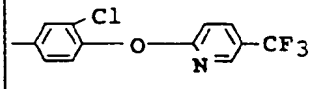
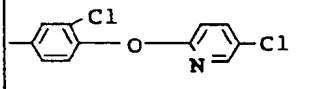
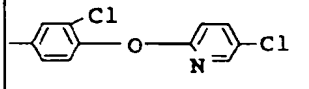
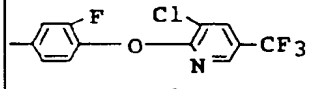
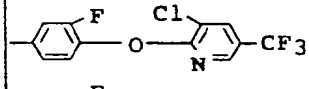
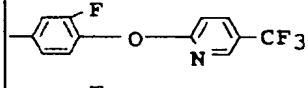
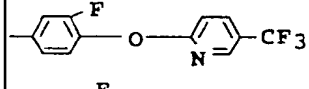
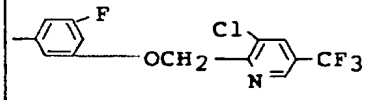
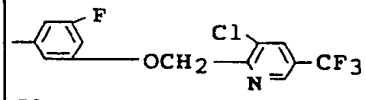
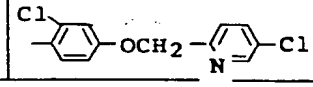
Compound No.	R^1	Xn	R^4	Melting p int (°C) or refractive index (n_D^{20})
408	CH_3	2-Cl, 6-F		
409	CH_3	2,6-F_2		not measurable
410	CH_3	2-Cl, 6-F		not measurable
411	CH_3	2,3,4,5,6-F_5		
412	CH_3	2,6-F_2		not measurable
413	CH_3	2-Cl, 6-F		116.0-117.0
414	CH_3	2,6-F_2		
415	CH_3	2-Cl, 6-F		
416	CH_3	2,6-F_2		
417	CH_3	2-Cl, 6-F		
418	CH_3	2,6-F_2		
419	CH_3	2-Cl, 6-F		120.0-123.0
420	CH_3	2-Cl		
421	CH_3	2-Cl, 6-F		
422	CH_3	2-Cl		

Table 2 (continued)

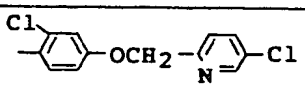
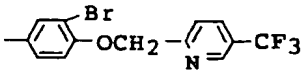
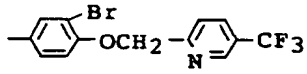
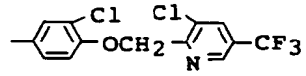
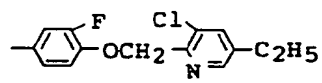
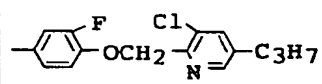
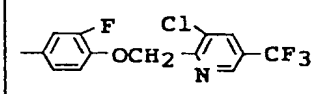
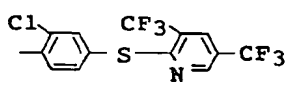
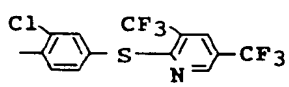
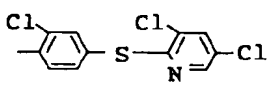
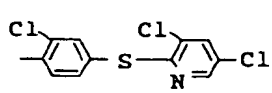
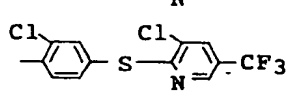
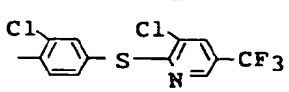
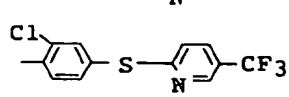
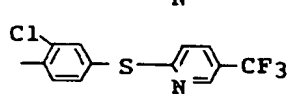
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
423	CH_3	2-Cl, 6-F		
424	CH_3	2-Cl		
425	CH_3	2-Cl, 6-F		
426	CH_3	2-Cl, 6-F		
427	CH_3	2-Cl, 6-F		
428	CH_3	2-Cl, 6-F		
429	CH_3	2-Cl, 6-F		
430	CH_3	2,6-F_2		
431	CH_3	2-Cl, 6-F		
432	CH_3	2,6-F_2		
433	CH_3	2-Cl, 6-F		
434	CH_3	2,6-F_2		
435	CH_3	2-Cl, 6-F		
436	CH_3	2,6-F_2		
437	CH_3	2-Cl, 6-F		

Table 2 (continued)

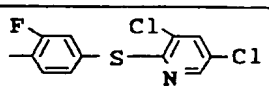
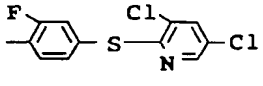
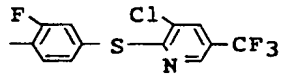
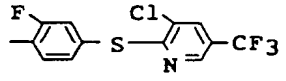
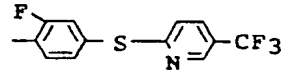
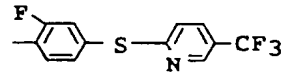
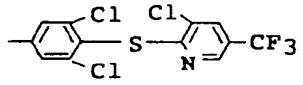
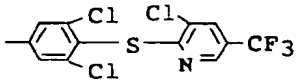
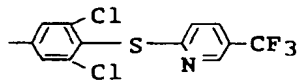
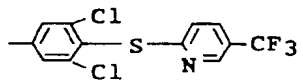
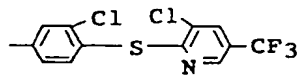
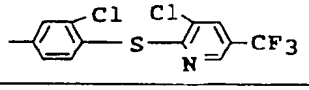
Compound No.	R^1	Xn	R^4	Melting p int (°C) or refractive index (n_D^{20})
438	CH_3	2,6-F_2		
439	CH_3	2-Cl, 6-F		
440	CH_3	2,6-F_2		
441	CH_3	2-Cl, 6-F		
442	CH_3	2,6-F_2		
443	CH_3	2-Cl, 6-F		
444	CH_3	2,6-F_2		
445	CH_3	2-Cl, 6-F		
446	CH_3	2,6-F_2		
447	CH_3	2-Cl, 6-F		
448	CH_3	2,6-F_2		
449	CH_3	2-Cl, 6-F		

Table 2 (continued)

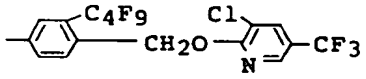
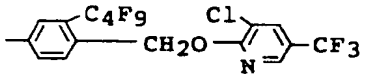
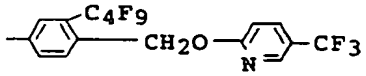
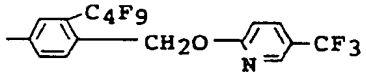
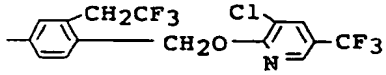
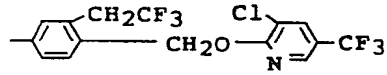
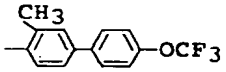
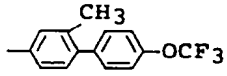
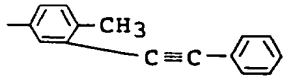
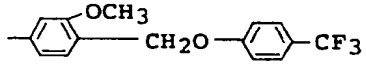
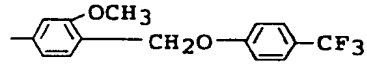
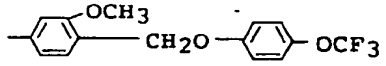
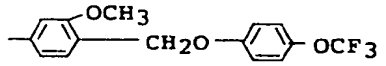
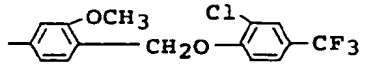
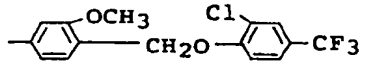
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
450	CH_3	2,6-F_2		
451	CH_3	2-Cl, 6-F		123.0-127.0
452	CH_3	2,6-F_2		
453	CH_3	2-Cl, 6-F		1.5020
454	CH_3	2,6-F_2		
455	CH_3	2-Cl, 6-F		
456	CH_3	2-Cl, 6-F		78.0-83.0
457	CH_3	2-Cl, 6-F		117.0-122.0
458	CH_3	2-Cl, 6-F		
459	CH_3	2,6-F_2		
460	CH_3	2-Cl, 6-F		
461	CH_3	2,6-F_2		
462	CH_3	2-Cl, 6-F		
463	CH_3	2,6-F_2		
464	CH_3	2-Cl, 6-F		

Table 2 (continued)

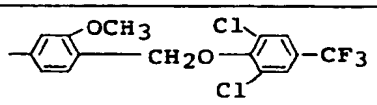
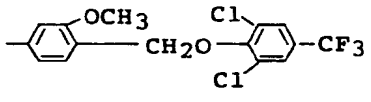
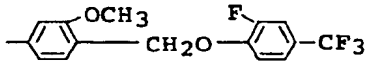
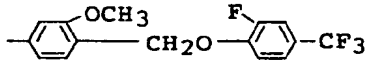
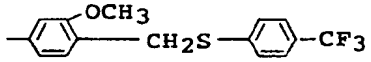
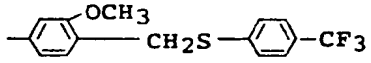
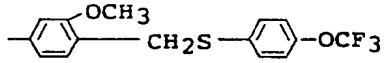
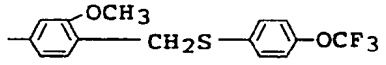
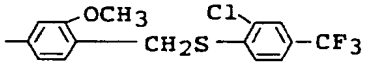
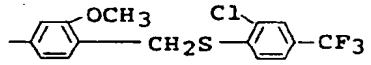
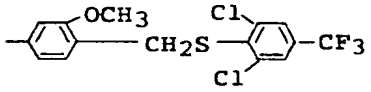
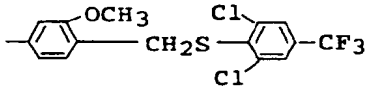
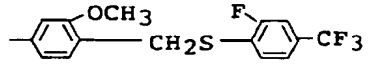
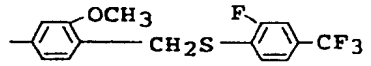
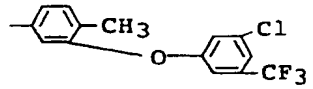
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
465	CH_3	2,6-F_2		
466	CH_3	2-Cl, 6-F		
467	CH_3	2,6-F_2		
468	CH_3	2-Cl, 6-F		
469	CH_3	2,6-F_2		
470	CH_3	2-Cl, 6-F		
471	CH_3	2,6-F_2		
472	CH_3	2-Cl, 6-F		
473	CH_3	2,6-F_2		
474	CH_3	2-Cl, 6-F		
475	CH_3	2,6-F_2		
476	CH_3	2-Cl, 6-F		
477	CH_3	2,6-F_2		
478	CH_3	2-Cl, 6-F		
479	CH_3	2-Cl		

Table 2 (continued)

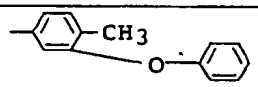
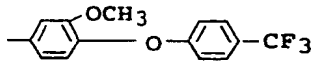
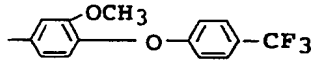
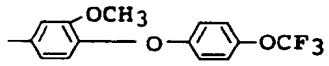
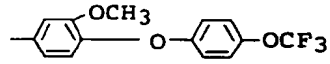
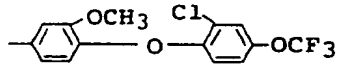
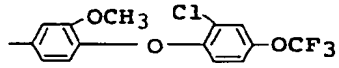
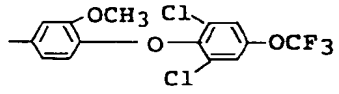
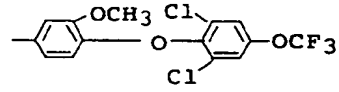
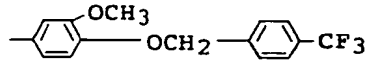
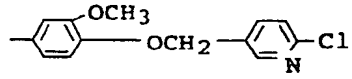
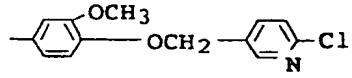
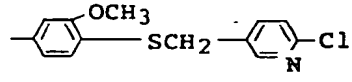
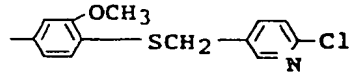
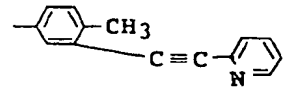
Comp und No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
480	CH_3	2-Cl, 6-F		116.0-119.0
481	CH_3	2,6-F_2		
482	CH_3	2-Cl, 6-F		
483	CH_3	2,6-F_2		
484	CH_3	2-Cl, 6-F		
485	CH_3	2,6-F_2		
486	CH_3	2-Cl, 6-F		
487	CH_3	2,6-F_2		
488	CH_3	2-Cl, 6-F		
489	CH_3	2-Cl, 6-F		129.0-131.0
490	CH_3	2,6-F_2		
491	CH_3	2-Cl, 6-F		
492	CH_3	2,6-F_2		
493	CH_3	2-Cl, 6-F		
494	CH_3	2-Cl		

Table 2 (continued)

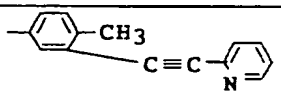
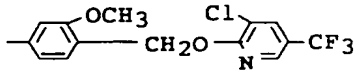
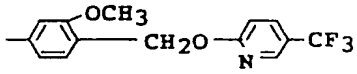
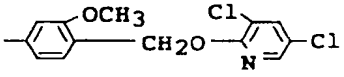
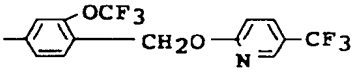
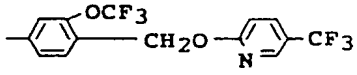
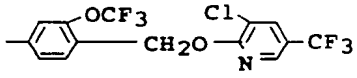
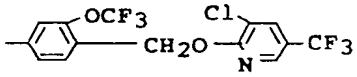
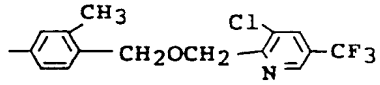
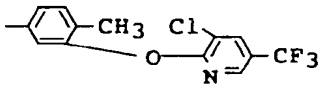
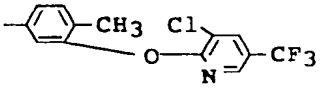
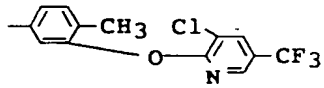
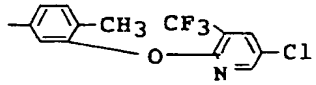
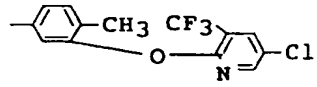
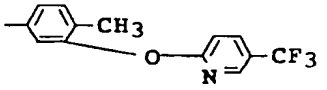
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
495	CH_3	2-Cl, 6-F		
496	CH_3	2-Cl, 6-F		97.0-102.0
497	CH_3	2-Cl, 6-F		74.0-79.0
498	CH_3	2-Cl, 6-F		129.0-134.0
499	CH_3	2-Cl		
500	CH_3	2-Cl, 6-F		
501	CH_3	2-Cl		
502	CH_3	2-Cl, 6-F		
503	CH_3	2-Cl, 6-F		
504	CH_3	2-Cl, 6-F		
505	CH_3	2,6-F_2		
506	CH_3	2-Cl		
507	CH_3	2-Cl		
508	CH_3	2-Cl, 6-F		142.0-144.0
509	CH_3	2-Cl		not measurable

Table 2 (continued)

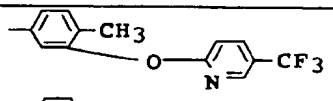
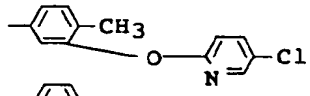
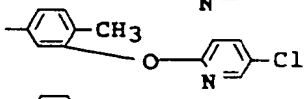
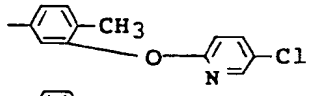
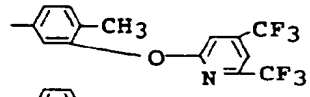
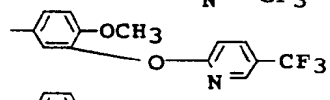
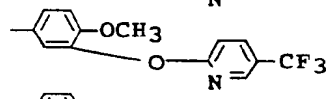
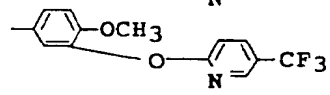
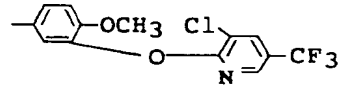
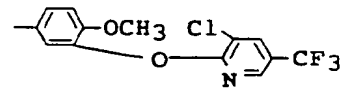
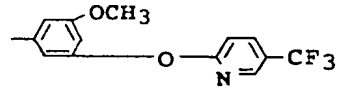
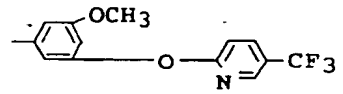
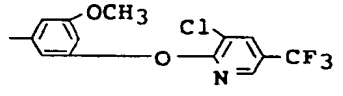
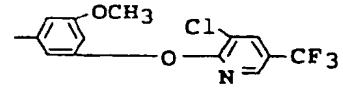
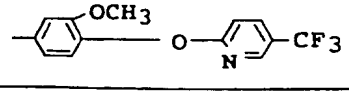
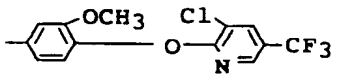
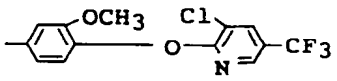
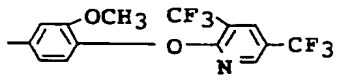
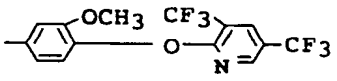
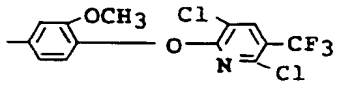
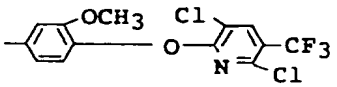
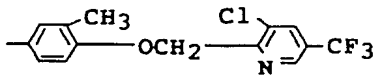
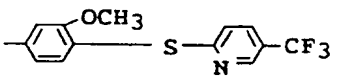
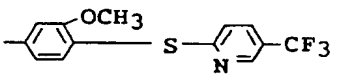
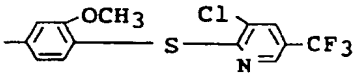
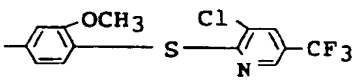
Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
510	CH_3	2-Cl, 6-F		not measurable
511	CH_3	2-Cl, 6-F		1.6087
512	CH_3	2-Cl		
513	CH_3	$2,6\text{-}F_2$		105.0-109.0
514	CH_3	2-Cl, 6-F		155.0-157.0
515	CH_3	2-Cl		
516	CH_3	2-Cl, 6-F		not measurable
517	CH_3	$2,6\text{-}F_2$		not measurable
518	CH_3	$2,6\text{-}F_2$		
519	CH_3	2-Cl, 6-F		
520	CH_3	$2,6\text{-}F_2$		
521	CH_3	2-Cl, 6-F		
522	CH_3	$2,6\text{-}F_2$		140.0-143.0
523	CH_3	2-Cl, 6-F		not measurable
524	CH_3	2-Cl, 6-F		not measurable

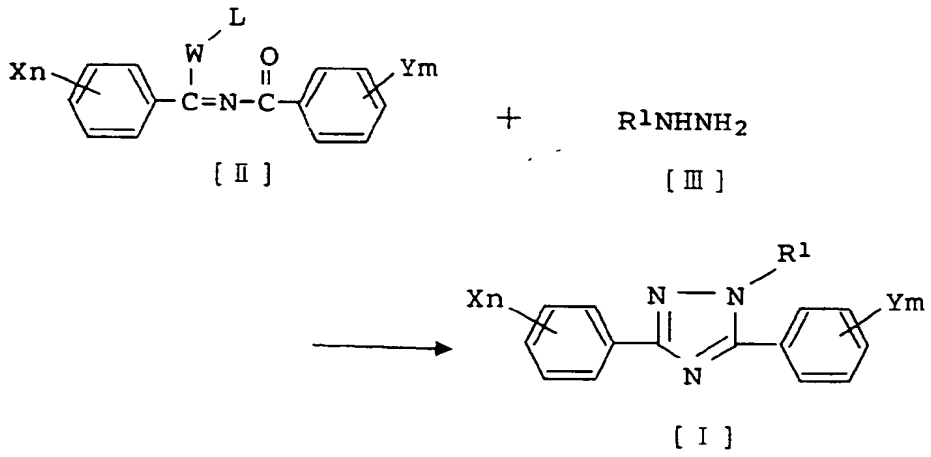
Table 2 (continued)

Compound No.	R^1	Xn	R^4	Melting point (°C) or refractive index (n_D^{20})
525	CH_3	2,6-F_2		
526	CH_3	2-Cl, 6-F		not measurable
527	CH_3	2,6-F_2		
528	CH_3	2-Cl, 6-F		
529	CH_3	2,6-F_2		
530	CH_3	2-Cl, 6-F		
531	CH_3	2-Cl, 6-F		102.0-104.0
532	CH_3	2,6-F_2		
533	CH_3	2-Cl, 6-F		
534	CH_3	2,6-F_2		
535	CH_3	2-Cl, 6-F		

The compounds according to the invention can be produced according to the following methods.

Production Method 1-1

(Reaction formula 1)



[II] [III] [I]

(wherein W is a sulfur atom or an oxygen atom, L is an alkyl group having a carbon number of 1-4, and R^1, X, n, Y and m are the same as mentioned above).

That is, the compound according to the invention represented by the general formula [I] can be obtained by reacting an N-acylimidate derivative or N-acylthioimidate derivative represented by a general formula [II] with a hydrazine derivative represented by a general formula [III] in an inert solvent.

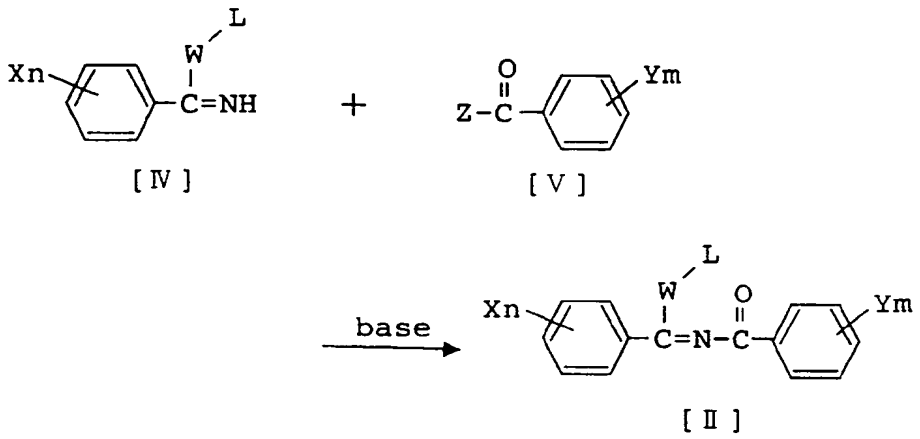
As the solvent, any solvents not obstructing the reaction can be used, which include, for example, alcohols such as methanol, ethanol and so on; ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene, dichlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; nitriles such as acetonitrile and so on; aprotic polar solvents such as dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; water and a mixed solvent comprised of a combination of solvents selected from the above. Furthermore, the amount of the starting material used is usually 1.0-5.0 moles of the compound shown by the general formula [III] per 1 mole of the compound shown by the general formula [II].

The reaction temperature is within a range of from 0 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 1 hour - 72 hours. A concrete example of this reaction is described, for example, in Synthesis, page 483 (1983).

The starting compound shown by the general formula [II] can be produced by the following method.

Production Method 1-2

(Reaction formula 2)



(wherein Z is a halogen atom, and L, W, X, n, Y and m are the same as mentioned above).

That is, the compound shown by the general formula [II] can be obtained by reacting a compound of a general formula [IV] with a compound of a general formula [V] in the presence of a base in an inert solvent. The compound of the general formula [IV] may be an acid addition salt such as a salt with boron tetrafluoride, hydrogen chloride, hydrogen bromide, hydrogen iodide or the like.

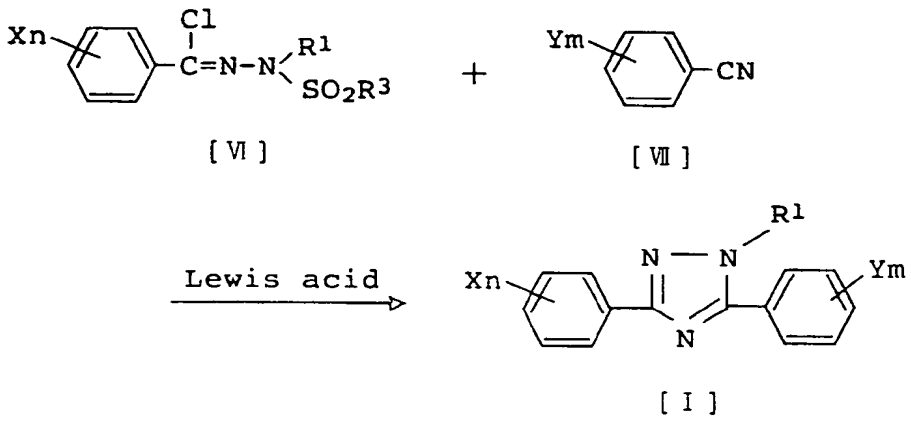
As the base, use may be made of inorganic bases such as sodium carbonate, potassium carbonate, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium hydroxide, potassium hydroxide and the like; and organic bases such as diethylamine, triethylamine, pyridine, 4-(N,N-dimethylamino) pyridine and the like.

As the solvent, use may be made of ketones such as acetone, methyl ethyl ketone and so on; ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene, dichlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; nitriles such as acetonitrile and so on; aprotic polar solvents such as dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the reactant used is usually 0.8-1.3 moles of the compound shown by the general formula [V] per 1 mole of the compound shown by the general formula [IV]. The amount of the base used is 1.0-2.0 moles per 1 mole of the compound shown by the general formula [IV]. The reaction temperature is within a range of from 0 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 1 hour - 24 hours.

Production Method 2

(Reaction formula 3)



[VI] [VII] [I]

(wherein R^1, X, n, Y and m are the same as mentioned above, and R^3 is a phenyl group, which may be substituted with an alkyl group having a carbon number of 1-4, or an alkyl group having a carbon number of 1-4).

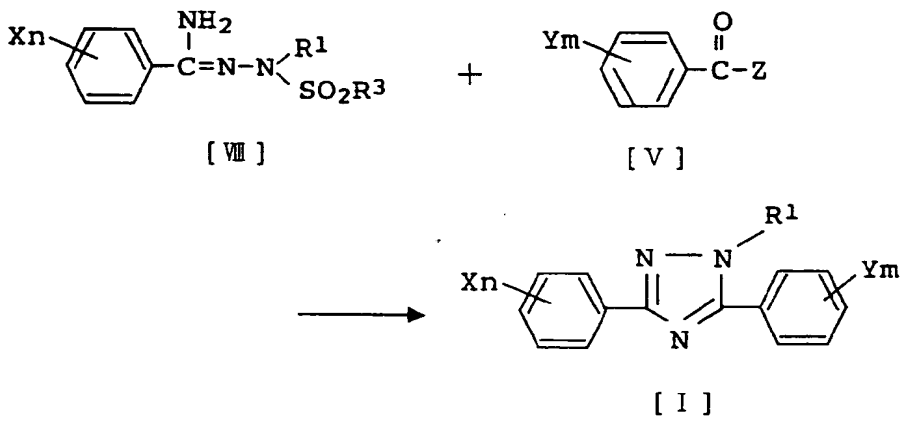
That is, the compound of the general formula [I] according to the invention can be obtained by reacting a benzohydrazonoyl chloride derivative represented by a general formula [VI] with a benzonitrile derivative represented by a general formula [VII] in the presence of a Lewis acid in an inert solvent.

As the solvent, any solvents not obstructing the reaction can be used, which include, for example, ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme, 1,2-dimethoxyethane and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene, dichlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; aprotic polar solvents such as nitrobenzene, dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; a mixed solvent comprised

of a combination of solvents selected from the above. As the Lewis acid, use may be made of aluminum bromide, aluminum chloride, iron (III) chloride, boron trifluoride, titanium tetrachloride and so on. Furthermore, the amounts of the starting material and the like used are usually 1.0-2.0 moles of the compound shown by the general formula [VII] and 1.0-2.0 moles of the Lewis acid per 1 mole of the compound shown by the general formula [VI]. The reaction temperature is within a range of from 0 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 30 minutes - 5 hours. A concrete example of this reaction is described, for example, in Bulltein of the Chemical Society of Japan (Bull. Chem. Soc. Jpn), vol. 56, page 545 (1983).

Production Method 3-1

(Reaction formula 4)



[Ⅷ] [V] [I]

(wherein R^1, R^3, X, n, Y, m and Z are the same as mentioned above).

That is, the compound of the general formula [I] according to the invention can be obtained by reacting a benzamidrazone derivative of a general formula [VIII] with a benzoylhalide derivative of a general formula [V] in the absence of a solvent or in an inert solvent.

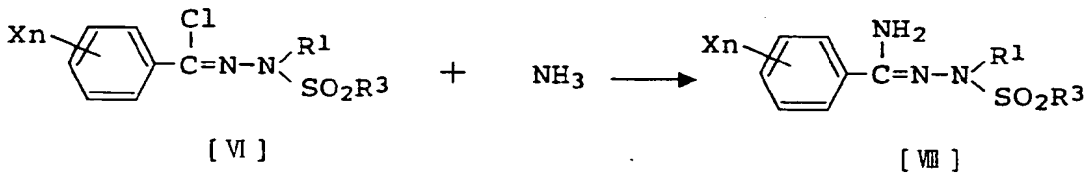
As the solvent, any solvents not obstructing the reaction can be used, which include, for example, ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene, dichlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; aprotic polar solvents such as dimethylformamide, dimethylacetamide, dimethylsulfoxide, 1-methyl-2-pyrolidinone and so on; and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the starting material used is usually 1.0-2.0 moles of the compound shown by the general formula [V] per 1 mole of the compound shown by the general formula [VIII]. The reaction temperature is within a range of from 0 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 30 minutes - 5 hours. A concrete example of this reaction is described, for example, in Bulltein of the Chemical Society of Japan (Bull. Chem. Soc. Jpn), vol. 56, page 545 (1983).

Moreover, the compound of the general formula [VIII] as a starting material can be produced by the following method.

Production Method 3-2

(Reaction formula 5)



[Ⅵ] [Ⅷ]

(wherein R^1, R^3, X and n are the same as mentioned above).

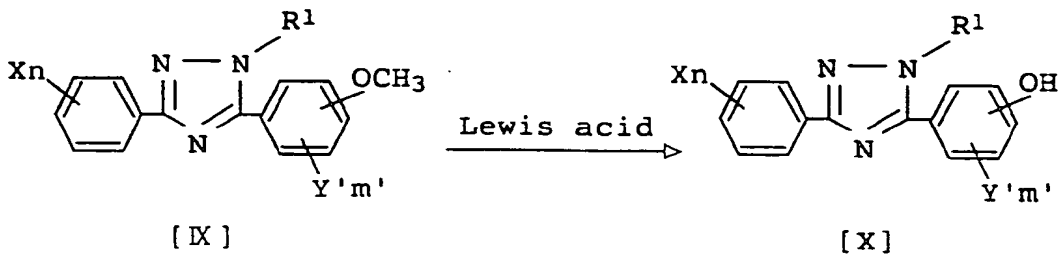
The compound of the general formula [VIII] can be obtained by reacting a compound of a general formula [VI] with an ammonia gas in an inert solvent.

As the solvent, any solvents not obstructing the reaction can be used, which include, for example, ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene, dichlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; aprotic polar solvents such as dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the starting material used is usually 5.0-10.0 moles of ammonia per 1 mole of the compound shown by the general formula [VI]. The reaction temperature is within a range of from 0 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 1 hour - 24 hours. A concrete example of this reaction is described, for example, in Bulltein of the Chemical Society of Japan (Bull. Chem. Soc. Jpn), vol. 56, page 545 (1983).

Production Method 4-1

(Reaction formula 6)



[IX] [X]

(wherein X, R^1, Y', n and m' are the same as mentioned above).

A compound of a general formula [X] can be obtained by reacting a compound of a general formula [IX] in the presence of a Lewis acid in an inert solvent.

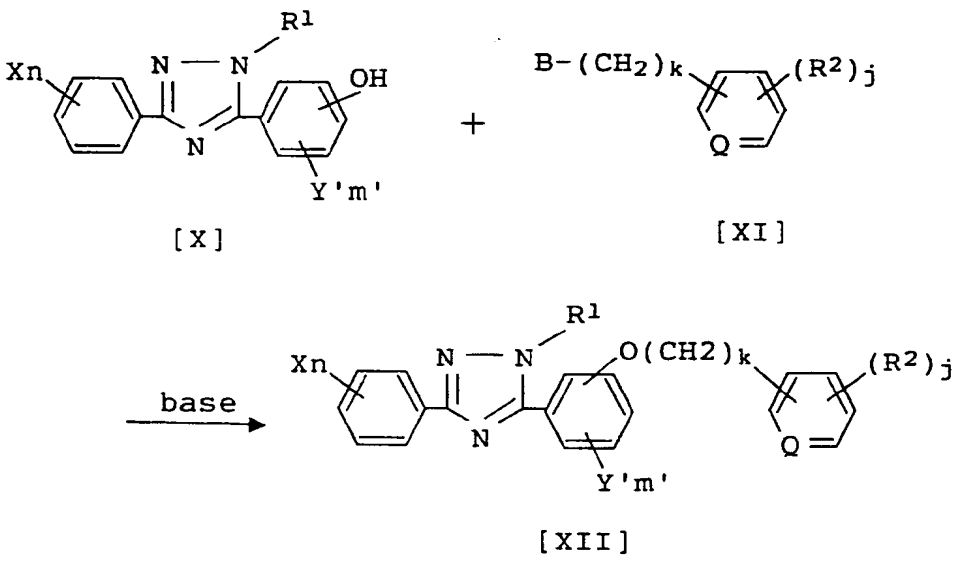
As the Lewis acid, use may be made of aluminum bromide, aluminum chloride, iron (III) chloride, boron trifluoride, titanium tetrachloride and so on.

As the solvent, any solvents not obstructing the reaction can be used, which include, for example, ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene, dichlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; aprotic polar solvents such as nitrobenzene, dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the reactant used is usually 1.0-5.0 moles of the Lewis acid per 1 mole of the compound shown by the general formula [IX]. The reaction temperature is within a range of from -20 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 1 hour - 24 hours.

Production Method 4-2

(Reaction formula 7)



[X] [XI] [XII]

[wherein B is a halogen atom, R^4-SO_2-group or R^4-SO_3-group (R^4 is an alkyl group having a carbon number of 1-4 or a phenyl group which may be substituted), k is 0 or 1, and X, Y', R^1, R^2, Q, j, m' and n are the same as mentioned above).

The compound of a general formula [XII] according to the invention can be obtained by reacting a compound of a general formula [X] with a compound of a general formula [XI] in the presence of a base in an inert solvent.

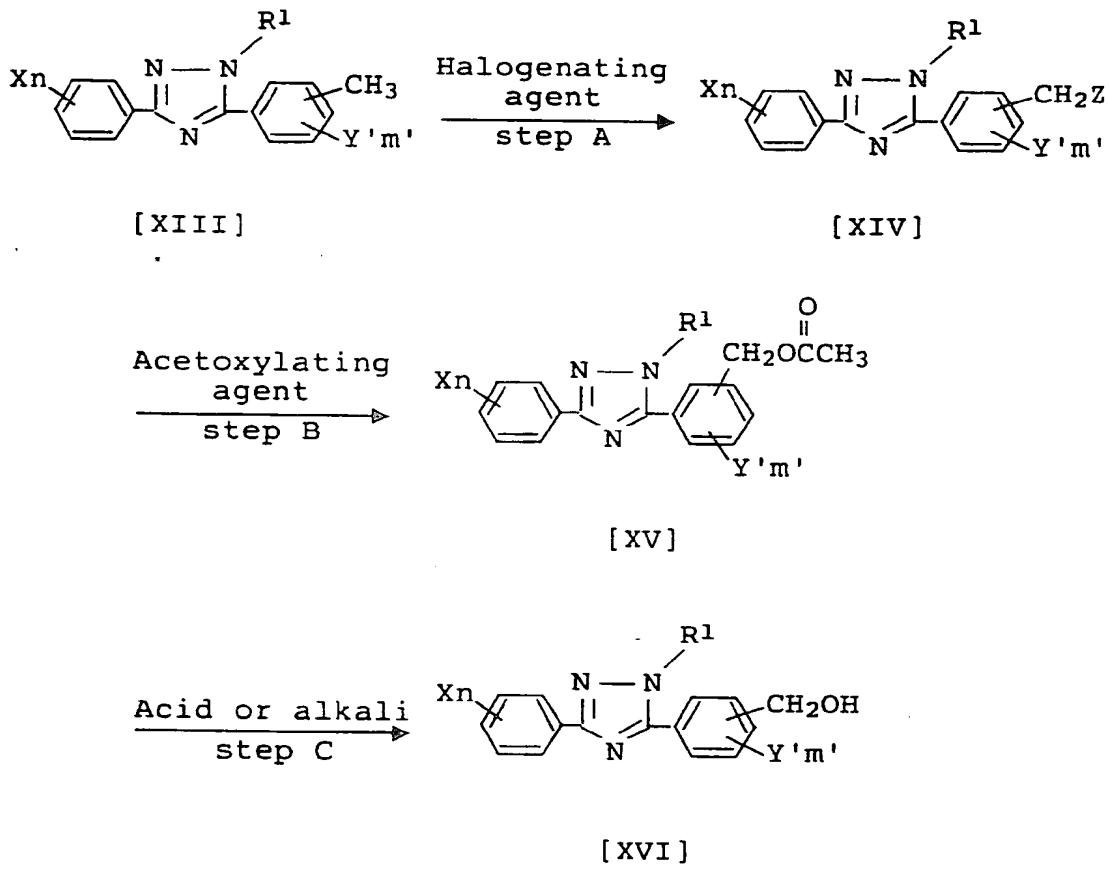
As the base, use may be made of inorganic bases such as sodium carbonate, potassium carbonate, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium hydroxide, potassium hydroxide and the like; metal hydrides such as sodium hydride, poptassium hydride and the like; and organic bases such as triethylamine, pyridine and the like.

As the solvent, use may be made of ketones such as acetone, methyl ethyl ketone and the like; ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene, dichlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; nitriles such as acetonitrile and the like; aprotic polar solvents such as dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the reactant used is usually 1.0-2.0 moles of the compound shown by the general formula [XI] per 1 mole of the compound shown by the general formula [X]. The amount of the base used is 1.0-2.0 moles per 1 mole of the compound shown by the general formula [X]. The reaction temperature is within a range of from -20 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 1 - 24 hours.

Production Method 5-1

(Reaction formula 8)



[XIII] [XIV] [XV] [XVI]

(wherein X, R^1, Z, Y', n and m' are the same as mentioned above).

A compound of a general formula [XIV] can be obtained from a triazole derivative of a general formula [XIII] with a halogenating agent. This compound can be reacted with an acetoxylating agent to obtain a compound of a general formula [XV]. Then, the compound of the general formula [XV] can be reacted with an acid or alkali to obtain a compound of a general formula [XVI].

As the halogenating agent used in the step A, use may be made of, for example, N-chlorosuccinimide, N-bromosuccinimide, N-bromophthalimide and so on.

As the solvent used, mention may be made of aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on. Furthermore, it is required to use a catalytic amount of benzoyl peroxide, azobisisobutyronitrile or the like as a radical initiator in this reaction.

The amount of the halogenating agent used is usually 0.8-1.5 moles per 1 mole of the compound shown by the general formula [XIII]. The reaction temperature is within a range of from 0 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 30 minutes - 12 hours.

As the acetoxylating agent used in the step B, use may be made of lithium acetate, sodium acetate, potassium acetate, calcium acetate and so on.

As the solvent, use may be made of ketones such as acetone, methyl ethyl ketone and so on; ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene, dichlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; nitriles such as acetonitrile

and so on; aprotic polar solvents such as dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the acetoxylating agent used is usually 1.0-4.0 moles per 1 mole of the compound shown by the general formula [XIV]. The reaction temperature is within a range of from 0°C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 1 - 24 hours.

As the acid used in the step C, use may be made of mineral acids such as hydrochloric acid, sulfuric acid and so on; and Lewis acids such as aluminum bromide, aluminum chloride and so on. In this case, as the solvent, use may be made of carboxylic acids such as acetic acid, formic acid and so on; ketones such as acetone, methyl ethyl ketone and so on; ethers such as diethyl ether, tetrahydrofuran, dioxane, dimethoxyethane and so on; aromatic hydrocarbons such as benzene, toluene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, carbon tetrachloride and so on; water and a mixed solvent comprised of a combination of solvents selected from the above.

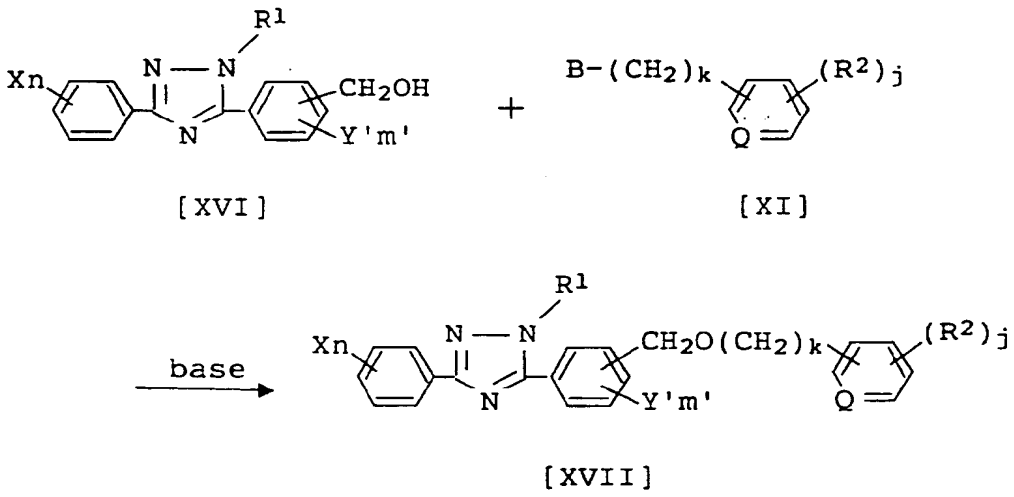
The amount of the acid used is usually a catalytic amount - 4.0 moles per 1 mole of the compound shown by the general formula [XV]. The reaction temperature is within a range of from 0°C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 30 minutes - 24 hours.

As the alkali used in the step C, use may be made of aqueous solutions of sodium hydroxide, potassium hydroxide, potassium carbonate, sodium carbonate and so on. In this case, as the solvent, use may be made of alcohols such as methanol, ethanol, ethylene glycol and so on; ketones such as acetone, methyl ethyl ketone and so on; ethers such as tetrahydrofuran, dioxane, 1,2-dimethoxyethane and so on; water and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the alkali used is usually 0.5-4.0 moles per 1 mole of the compound shown by the general formula [XV]. The reaction temperature is within a range of from 0°C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 30 minutes - 24 hours.

Production Method 5-2

(Reaction formula 9)



[XVI] [XI]

[XVII]

(wherein X, Y', B, Q, R¹, R², j, k, m' and n are the same as mentioned above).

The compound shown by the general formula [XVII] according to the invention can be obtained by reacting a compound of a general formula [XVI] with a compound of a general formula [XI] in the presence of a base in an inert solvent.

As the base, use may be made of inorganic bases such as sodium carbonate, potassium carbonate, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium hydroxide, potassium hydroxide and

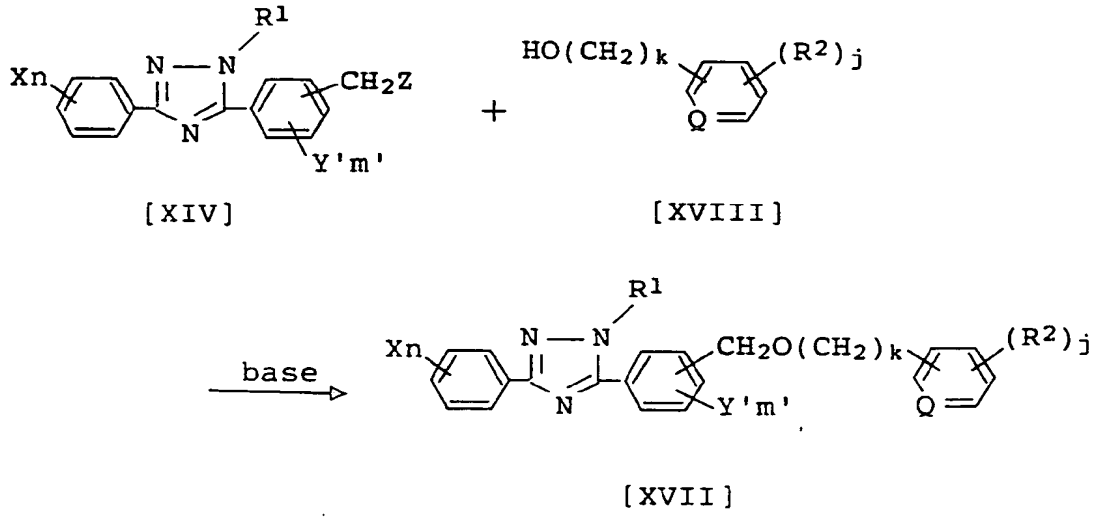
the like; metal hydrides such as sodium hydride, potassium hydride and the like; and organic bases such as triethylamine, pyridine and the like.

As the solvent, use may be made of ketones such as acetone, methyl ethyl ketone and so on; ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; nitriles such as acetonitrile and so on; aprotic polar solvents such as dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the reactant used is usually 1.0-2.0 moles of the compound shown by the general formula [XI] per 1 mole of the compound shown by the general formula [XVI]. The amount of the base used is 1.0-2.0 moles per 1 mole of the compound shown by the general formula [XVI]. The reaction temperature is within a range of from -20 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 1 - 24 hours.

Production Method 5-3

(Reaction formula 10)



[XIV] [XVIII]

[XVII]

(wherein X, Y', Q, R^1, R^2, Z, j, k, m' and n are the same as mentioned above).

The compound shown by the general formula [XVII] according to the invention can be obtained by reacting a compound of the general formula [XIV] with a compound of the general formula [XVIII] in the presence of a base in an inert solvent.

As the base, use may be made of inorganic bases such as sodium carbonate, potassium carbonate, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium hydroxide, potassium hydroxide and the like; metal hydrides such as sodium hydride, potassium hydride and the like; and organic bases such as triethylamine, pyridine and the like.

As the solvent, use may be made of ketones such as acetone, methyl ethyl ketone and so on; ethers such as diethyl ether, tetrahydrofuran, dioxane, 1,2-dimethoxyethane, diglyme and so on; aromatic hydrocarbons such as benzene, toluene, chlorobenzene and so on; aliphatic hydrocarbons such as pentane, hexane, petroleum ether and so on; aliphatic halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride and so on; nitriles such as acetonitrile and so on; aprotic polar solvents such as dimethylformamide, dimethylacetamide, dimethylsulfoxide and so on; and a mixed solvent comprised of a combination of solvents selected from the above.

The amount of the reactant used is usually 1.0-2.0 moles of the compound shown by the general formula [XVIII] per 1 mole of the compound shown by the general formula [XIV]. The amount of the base used is 1.0-2.0 moles per 1 mole of the compound shown by the general formula [XIV]. The reaction

temperature is within a range of from -20 °C to a boiling point of the solvent. The reaction time is different in accordance with the compound, but the object can usually be attained for 1 - 24 hours.

[BEST MODE FOR CARRYING OUT THE INVENTION]

The preparation method of the compounds according to the invention, formulation method and applications will concretely be described with reference to the following examples.

Example 1 Preparation of 3-(2-chlorophenyl)-5-(2-chloro-3-nitrophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 1)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chlorobenzohydrazonoyl chloride (1.72 g), 2-chloro-3-nitrobenzonitrile (1.00 g), anhydrous aluminum chloride (0.70 g) and o-dichlorobenzene (20 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.12 g of desired compound (melting point: 124.0-125.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.83 (3H, s)
7.16 - 8.10 (7H, m)

Example 2 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-chloro-3-nitrophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 2)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.90 g), 2-chloro-3-nitrobenzonitrile (1.19 g), anhydrous aluminum chloride (0.70 g) and o-dichlorobenzene (20 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.19 g of desired compound (melting point: 112.0-114.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.90 (3H, s)
6.90 - 8.10 (6H, m)

Example 3 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-chloro-4-nitrophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 4)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.90 g), 2-chloro-4-nitrobenzonitrile (1.80 g), anhydrous iron (III) chloride (1.60 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 1 hour. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 2.20 g of desired compound (melting point: 144.0-148.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.88 (3H, s)
6.90 - 8.46 (6H, m)

Example 4 Preparation of 5-(2-chloro-4-ethylphenyl)-3-(2-chlorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 15)

Ethyl 2-chlorobenzimidate (2.75 g) and triethylamine (1.60 g) are dissolved in toluene (30 ml) and 2-chloro-4-ethylbenzoyl chloride (2.64 g) is added dropwise thereto within a range of 5 °C - 15 °C with stirring, which is stirred at room temperature for 1 hour and further heated under reflux for 3 hours. After cooling to room temperature, the reaction mixture is added with toluene (200 ml) and washed with dilute hydrochloric acid and saline, and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is

added with monomethyl hydrazine (1.00 g) and stirred at room temperature for 16 hours. On completion of the reaction, the reaction mixture is washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 2.10 g of desired compound (melting point: 75.5-77.5 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

1.27 (3H, t)
2.70 (2H, q)
3.83 (3H, s)
7.10 - 7.60 (6H, m)
7.90 - 8.10 (1H, m)

Example 5 Preparation of 5-(2-chloro-4-ethylphenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 16)

Ethyl 2-chloro-6-fluorobenzimidate (3.02 g) and triethylamine (1.60 g) are dissolved in toluene (30 ml) and 2-chloro-4-ethylbenzoyl chloride (2.64 g) is added dropwise thereto within a range of 5 °C - 15 °C with stirring, which is stirred at room temperature for 1 hour and further heated under reflux for 3 hours. After cooling to room temperature, the reaction solution is added with toluene (200 ml) and washed with dilute hydrochloric acid and saline, and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (2.00 g) and heated under reflux for 2 hours. On completion of the reaction, the reaction mixture is washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 2.63 g of desired compound (refractive index: 1.5930).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

1.26 (3H, t)
2.69 (2H, q)
3.83 (3H, s)
6.90 - 7.50 (6H, m)

Example 6 Preparation of 3-(2-chlorophenyl)-5-(2-chloro-4-propylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 18)

Ethyl 2-chlorobenzimidate (2.75 g) and triethylamine (1.80 g) are dissolved in toluene (30 ml) and 2-chloro-4-propylbenzoyl chloride (3.30 g) is added dropwise thereto within a range of 5 °C - 15 °C with stirring, which is stirred at room temperature for 1 hour and further heated under reflux for 1 hour. After cooling to room temperature, the reaction solution is added with toluene (200 ml) and washed with dilute hydrochloric acid and saline, and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with mono-methyl hydrazine (0.80 g) and stirred at room temperature for 18 hours. On completion of the reaction, the reaction mixture is washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 2.78 g of desired compound (melting point: 70.0-72.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

0.95 (3H, t)
1.66 (2H, m)
2.63 (2H, t)
3.83 (3H, s)
6.90 - 7.10 (1H, m)
7.10 - 7.50 (6H, m)

Example 7 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-chloro-4-propylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 19)

Ethyl 2-chloro-6-fluorobenzimidate (3.02 g) and triethylamine (1.80 g) are dissolved in toluene (30 ml) and 2-chloro-4-propylbenzoyl chloride (3.30 g) is added dropwise thereto within a range of 5 °C - 15 °C with stirring, which is stirred at room temperature for 1 hour and further heated under reflux for 1 hour. After

cooling to room temperature, the reaction solution is added with toluene (200 ml) and washed with dilute hydrochloric acid and saline, and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (1.80 g) and heated under reflux for 4 hours. On completion of the reaction, the reaction mixture is washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 0.41 g of desired compound (refractive index: 1.5868).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

0.95 (3H, t)
1.65 (2H, m)
2.62 (2H, t)
3.83 (3H, s)
6.80 - 7.50 (6H, m)

Example 8 Preparation of 5-(4-butyl-2-chlorophenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 29)

N-methyl-N-(phenylsulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.10 g), 4-butyl-2-chlorobenzonitrile (0.60 g) and anhydrous aluminum chloride (0.50 g) are added to o-dichlorobenzene (10 ml) and stirred at 120 °C for 1 hour. On completion of the reaction, chloroform (100 ml) is added and washed with dilute hydrochloric acid. After washing with water, the organic layer is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 0.70 g of compound (refractive index: 1.5667).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

0.75 - 1.12 (3H, m)
1.15 - 2.00 (4H, m)
2.65 (2H, t)
3.85 (3H, s)
6.83 - 7.60 (6H, m)

Example 9 Preparation of 5-(4-t-butyl-2-ethoxyphenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 35)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.60 g), 4-t-butyl-2-ethoxybenzonitrile (1.00 g), anhydrous aluminum chloride (0.60 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.20 g of desired compound (melting point: 108.0-111.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

1.15 (9H, s)
1.36 (3H, t)
3.87 (3H, s)
4.10 (2H, q)
6.83 - 7.58 (6H, m)

Example 10 Preparation of 3-(2-chlorophenyl)-5-(2-fluoro-5-hexylpheny1)-1-methyl-1H-1,2,4-triazole (Compound No. 42)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chlorobenzohydrazonoyl chloride (2.06 g), 2-fluoro-5-hexylbenzonitrile (1.23 g), anhydrous aluminum chloride (0.88 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (200 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.60 g of desired compound (refractive index: 1.5779).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
0.87 (3H, t)
1.00 - 1.90 (8H, m)
2.62 (2H, t)
3.87 (3H, d)
6.90 - 8.00 (7H, m)

Example 11 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-fluoro-5-hexylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 43)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (2.17 g), 2-fluoro-5-hexylbenzonitrile (1.23 g), anhydrous aluminum chloride (0.88 g) and o-dichlorobenzene (10 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (200ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.11 g of desired compound (refractive index: 1.5608).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
0.87 (3H, t)
1.00 - 1.80 (8H, m)
2.61 (2H, t)
3.89 (3H, d)
6.80 - 7.40 (6H, m)

Example 12 Preparation of 3-(2,6-difluorophenyl)-5-(2-fluoro-5-undecylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 46)

A mixture of N-methyl-N-(benzenesulfonyl)-2,6-difluorobenzohydrazonoyl chloride (1.28 g), 2-fluoro-5-undecylbenzonitrile (1.09 g), anhydrous aluminum chloride (0.55 g) and o-dichlorobenzene (10 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (200 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.08 g of desired compound (melting point: 70.0-73.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
0.88 (3H, t)
1.10 - 1.80 (18H, m)
2.63 (2H, t)
3.93 (3H, d)
6.90 - 7.60 (6H, m)

Example 13 Preparation of 3-(2-chlorophenyl)-5-(2-fluoro-5-undecylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 47)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chlorobenzohydrazonoyl chloride (1.27 g), 2-fluoro-5-undecylbenzonitrile (1.09 g), anhydrous aluminum chloride (0.55 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (200 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.85 g of desired compound (melting point: 35.0-37.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
0.88 (3H, t)
1.10 - 1.70 (18H, m)
2.66 (2H, t)
3.93 (3H, d)
6.90 - 7.60 (6H, m)
7.90 - 8.00 (1H, m)

Example 14 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-fluoro-5-undecylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 48)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.34 g), 2-fluoro-5-undecylbenzonitrile (1.09 g), anhydrous aluminum chloride (0.55 g) and o-dichlorobenzene (10 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (200 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.04 g of desired compound (refractive index: 1.5419).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

0.87 (3H, t)
1.10 - 1.80 (18H, m)
2.63 (2H, t)
3.94 (3H, d)
6.90 - 7.60 (6H, m)

Example 15 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-chloro-4-dodecylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 51)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.50 g), 2-chloro-4-dodecylbenzonitrile (1.20 g), anhydrous aluminum chloride (0.60 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.80 g of desired compound (refractive index: 1.5490).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

0.50 - 2.03 (23H, m)
2.65 (2H, t)
3.83 (3H, s)
6.82 - 7.52 (6H, m)

Example 16 Preparation of 5-(4-butoxy-2-chlorophenyl)-3-(2-chlorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 64)

Ethyl 2-chlorobenzimidate (2.40 g) and triethylamine (1.20 g) are dissolved in toluene (100 ml) and 4-butoxy-2-chlorobenzoyl chloride (2.60 g) is added dropwise thereto below 10 °C with stirring. It is stirred at room temperature for 2 hours and further heated under reflux for 2 hours. On completion of the reaction, the reaction solution is washed with saline, further washed with water and the organic layer is dried over anhydrous magnesium sulfate. The toluene layer is added with monomethyl hydrazine (1.50 g) and reacted at room temperature for 24 hours. On completion of the reaction, it is washed with dilute hydrochloric acid, further washed with water and the organic layer is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.00 g of desired compound (melting point: 60.0-62.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

0.80 - 1.16 (3H, m)
1.20 - 2.10 (4H, m)
3.84 (3H, s)
4.02 (2H, t)
6.76 - 7.95 (6H, m)
7.83 - 8.12 (1H, m)

Example 17 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(4-chloro-3-pentyloxyphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 68)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.10 g), 4-chloro-3-pentyloxybenzonitrile (0.70 g), anhydrous iron (III) chloride (0.60 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.50 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

0.72 - 2.16 (9H, m)
4.06 (3H, s)
4.10 (2H, t)
6.85 - 7.60 (6H, m)

Example 18 Preparation of 5-(4-chloro-3-octyloxyphenyl)-3-(2-chlorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 77)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chlorobenzohydrazonoyl chloride (1.50 g), 4-chloro-3-octyloxybenzonitrile (1.30 g), anhydrous iron (III) chloride (0.80 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.80 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

0.65 - 2.13 (15H, m)
4.07 (3H, s)
4.13 (2H, t)
6.92 - 7.66 (7H, m)

Example 19 Preparation of 3-(2-chlorophenyl)-5-(2-chloro-4-methoxyethoxyphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 87)

Ethyl 2-chlorobenzimidate (4.00 g) and triethylamine (2.60 g) are dissolved in toluene (20 ml) and 2-chloro-4-methoxyethoxybenzoyl chloride (4.20 g) is added dropwise thereto within a range of 5 °C-10 °C with stirring. It is stirred at room temperature for 1 hour and further heated under reflux for 30 minutes. After cooling to room temperature, the reaction solution is added with toluene (20 ml) and washed with dilute sulfuric acid and saline and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (2.00 g) and stirred at room temperature for 4 hours. On completion of the reaction, the reaction mixture is washed with dilute sulfuric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.70 g of desired compound (refractive index: 1.5946).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

3.45 (3H, s)
3.60 - 3.97 (4H, m)
4.00 (3H, s)
6.83 - 8.13 (7H, m)

Example 20 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-chloro-5-perfluorobutylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 116)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.70 g), 2-chloro-5-perfluorobutylbenzonitrile (1.75 g), anhydrous iron (III) chloride (0.73 g) and o-dichlorobenzene (10 ml) is stirred at an oil bath temperature of 130 °C for 2 hours. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium

hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.68 g of desired compound (refractive index: 1.5110).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.87 (3H, s)
6.80 - 7.97 (6H, m)

Example 21 Preparation of 3-(2-chlorophenyl)-5-(2-chloro-5-perfluorohexylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 119)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chlorobenzohydrazonoyl chloride (0.51 g), 2-chloro-5-perfluorohexylbenzonitrile (0.70 g), anhydrous aluminum chloride (0.20 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 1 hour. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.15 g of desired compound (melting point: 70.0-76.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.85 (3H, s)
7.13 - 8.06 (7H, m)

Example 22 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-chloro-5-perfluorohexylphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 120)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (0.73 g), 2-chloro-5-perfluorohexylbenzonitrile (1.00 g), anhydrous iron (III) chloride (0.36 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 1 hour. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.15 g of desired compound (melting point: 78.0-82.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.86 (3H, s)
6.86 - 7.86 (6H, m)

Example 23 Preparation of 3-(2-chlorophenyl)-5-(2-chloro-4-allyloxyphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 138).

Ethyl 2-chlorobenzimidate (2.60 g) and triethylamine (1.10 g) are dissolved in toluene (20 ml) and 2-chloro-4-allyloxybenzoyl chloride (2.20 g) is added dropwise thereto within a range of 5 °C-10 °C with stirring. It is stirred at room temperature for 1 hour and further heated under reflux for 30 minutes. After cooling to room temperature, the reaction solution is added with toluene (20 ml) and washed with dilute sulfuric acid and saline and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (2.00 g) and stirred at room temperature for 5 hours. On completion of the reaction, the reaction mixture is washed with dilute sulfuric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.60 g of desired compound (refractive index: 1.6083).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.85 (3H, s)
4.50 - 4.80 (2H, m)
5.25 - 5.62 (2H, m)
5.77 - 6.40 (1H, m)
6.82 - 8.16 (7H, m)

Example 24 Preparation of 3-(2-chlorophenyl)-5-(2-chloro-4-propargyroxyphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 145)

Ethyl 2-chlorobenzimidate (3.00 g) and triethylamine (1.50 g) are dissolved in toluene (20 ml) and 2-chloro-4-propargyroxybenzoyl chloride (2.30 g) is added dropwise thereto within a range of 5 °C-10 °C with stirring. It is stirred at room temperature for 1 hour and further heated under reflux for 30 minutes. After cooling to room temperature, the reaction solution is added with toluene (20 ml) and washed with dilute sulfuric acid and saline and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (2.00 g) and stirred at room temperature for 4 hours. On completion of the reaction, the reaction mixture is washed with dilute sulfuric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.60 g of desired compound (melting point: 103.0-105.0).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

2.47 - 2.71 (1H, m)
3.83 (3H, s)
4.75 (2H, d)
6.83 - 8.20 (7H, m)

Example 25 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[4-fluoro-3-(4-trifluoromethoxyphenyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 157)

A mixture of N-methyl-N-(methanesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.50 g), 4-fluoro-3-(4-trifluoromethoxyphenyl)benzonitrile (1.43 g), anhydrous iron (III) chloride (0.90 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, the reaction mixture is dissolved in ethylacetate (200 ml), washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.90 g of desired compound (melting point: 117.0-119.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.10 (3H, s)
6.80 - 7.90 (10H, m)

Example 26 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[2-chloro-4-(4-trifluoromethoxyphenyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 158)

A mixture of N-methyl-N-(methanesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.50 g), 4-(4-trifluoromethoxyphenyl)benzonitrile (1.56 g), anhydrous iron (III) chloride (0.90 g) and chlorobenzene (20 ml) is stirred at an oil bath temperature of 140 °C for 1 hour. After cooling, the reaction mixture is dissolved in chloroform (300 ml), washed with dilute hydrochrolic acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.80 g of desired compound (refractive index: 1.5925).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

3.90 (3H, s)
6.90 - 7.70 (10H, m)

Example 27 Preparation of 5-[3-chloro-4-(3,4-dichlorobenzyl)phenyl]-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 161)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.00 g), 3-chloro-4-(3,4-dichlorobenzyl)benzonitrile (0.93 g), anhydrous iron (III) chloride (0.50 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 1 hour. After cooling, the reaction mixture is dissolved in chloroform (300 ml), washed with dilute hydrochrolic acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.64 g of desired compound (melting point: 179.0-185.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.03 (3H, s)
4.23 (2H, s)
6.67 - 7.86 (9H, m)

Example 28 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(2-chloro-4-trifluoromethylphenoxymethyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 196)

To 30 ml of N,N-dimethylformamide are added 2-chloro-4-trifluoromethyl phenol (0.29 g) and potassium carbonate (0.25 g) and 5-(4-bromomethyl-3-chlorophenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (0.60 g) is added thereto at room temperature with stirring, which is stirred at 120 °C for 1 hour. On completion of the reaction, the reaction solution is cooled to room temperature, poured into water and extracted with toluene. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 0.61 g of desired compound (melting point: 113.0-114.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.10 (3H, s)
5.30 (2H, s)
6.87 - 8.10 (9H, m)

Example 29 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(2-fluoro-4-trifluoromethylphenoxymethyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 197)

To 100 ml of N,N-dimethylformamide are added 5-(3-chloro-4-chloromethylphenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (1.60 g) and potassium carbonate (0.60 g) and 2-fluoro-4-trifluoromethyl phenol (0.80 g) is added thereto at room temperature with stirring, which is stirred at 70 °C for 3 hours. On completion of the reaction, the reaction solution is cooled to room temperature, poured into water and extracted with ethyl acetate. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 1.62 g of desired compound (refractive index: 1.6010).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.10 (3H, s)
5.31 (2H, s)
6.75 - 8.00 (9H, m)

Example 30 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(4-trifluoromethylphenoxymethyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 199)

To 30 ml of N,N-dimethylformamide are added 4-trifluoromethyl phenol (0.77 g) and potassium carbonate (0.72 g) and 5-(4-bromomethyl-3-chlorophenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (1.60 g) is added thereto at room temperature with stirring, which is stirred at 120 °C for 1 hour. On completion of the reaction, the reaction solution is cooled to room temperature, poured into water and extracted with toluene. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 1.50 g of desired compound (refractive index: 1.5961).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.08 (3H, s)
5.23 (2H, s)
6.87 - 7.47 (7H, m)
7.65 (2H, s)
7.83 (1H, s)

Example 31 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(4-trifluoromethoxyphenoxymethyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 201)

To 30 ml of N,N-dimethylformamide are added 4-trifluoromethoxy phenol (0.33 g) and potassium carbonate (0.25 g) and 5-(4-bromomethyl-3-chlorophenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (0.70 g) is added thereto at room temperature with stirring, which is stirred at 120 °C for 1 hour. On completion of the reaction, the reaction solution is cooled to room temperature, poured into water and

extracted with toluene. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 0.83 g of desired compound (refractive index: 1.5701).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.08 (3H, s)
5.19 (2H, s)
6.70 - 7.40 (7H, m)
7.65 (1H, s)

Example 32 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(2-chloro-4-phenoxyphenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 241)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (3.30 g), 2-chloro-4-phenoxybenzonitrile (2.30 g), anhydrous iron (III) chloride (1.60 g) and o-dichlorobenzene (10 ml) is stirred at an oil bath temperature of 140 °C for 1 hour. After cooling, the reaction mixture is dissolved in chloroform (100 ml), washed with dilute hydrochrolic acid, dilute aqueous solution of sodium hydroxide and saline in this order, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.15 g of desired compound (melting point: 135.0-140.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

3.91 (3H, s)
6.90 - 8.06 (11H, m)

Example 33 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(2,6-dichloro-4-trifluoromethylphenoxy)-phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 259)

Ethyl 2-chloro-6-fluorobenzimidate (1.80 g) and triethylamine (1.20 g) are dissolved in toluene (50 ml) and 3-chloro-4-(2,6-dichloro-4-trifluoromethylphenoxy)-benzoyl chloride (3.70 g) is added dropwise thereto at room temperature with stirring, which is stirred at 100 °C for 3 hours. After cooling to room temperature, the reaction solution is added with toluene (50 ml) and washed with dilute hydrochloric acid and saline and the organic layer is dried over anhydrous magnesium sulfate. The reaction solution is added with monomethyl hydrazine (0.80 g) and stirred at 100 °C for 3 hours. On completion of the reaction, the reaction mixture is washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 1.50 g of desired compound (melting point: 67.0-72.0).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.05 (3H, s)
6.40 - 7.95 (8H, m)

Example 34 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(2-chloro-4-trifluoromethylbenzyloxy)-phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 273)

To 20 ml of N,N-dimethylformamide are added 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-hydroxyphenyl)-1-methyl-1H-1,2,4-triazole (0.70 g) and potassium carbonate (0.31 g) and 2-chloro-4-trifluoromethylbenzyl chloride (0.50 g) is added thereto at room temperature with stirring, which is stirred at 120 °C for 5 hours. On completion of the reaction, the reaction solution is cooled to room temperature, poured into water and extracted with ethyl acetate. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 0.80 g of desired compound (melting point: 156.0-159.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.05 (3H, s)
5.30 (2H, s)
6.80 - 7.95 (9H, m)

Example 35 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(2-fluoro-4-trifluoromethylbenzyloxy)-phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 274)

To 20 ml of N,N-dimethylformamide are added 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-hydroxyphenyl)-1-methyl-1H-1,2,4-triazole (0.90 g) and potassium carbonate (0.40 g) and 2-fluoro-4-trifluoromethylbenzyl chloride (0.50 g) is added thereto at room temperature with stirring, which is stirred at 120 °C for 5 hours. On completion of the reaction, the reaction solution is cooled to room temperature, poured into water and extracted with ethyl acetate. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 0.60 g of desired compound (melting point: 109.0-111.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.00 (3H, s)
5.25 (2H, s)
6.80 - 7.90 (9H, m)

Example 36 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(4-trifluoromethylbenxyloxy)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 275)

Ethyl 2-chloro-6-fluorobenzimidate (2.40 g) and triethylamine (1.20 g) are dissolved in toluene (50 ml) and 3-chloro-4-(4-trifluoromethylbenzyloxy)benzoyl chloride (3.50 g) is added dropwise thereto at room temperature with stirring, which is stirred at 100 °C for 3 hours. After cooling to room temperature, the reaction solution is added with toluene (50 ml) and washed with dilute hydrochloric acid and saline and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (0.90 g) and stirred at 100 °C for 3 hours. On completion of the reaction, the reaction mixture is washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 2.20 g of desired compound (melting point: 43.0-47.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.05 (3H, s)
5.25 (2H, s)
6.90 - 7.95 (10H, m)

Example 37 Preparation of 5-[3-chloro-4-(4-trifluoromethylbenzyloxy)phenyl]-3-(2,6-difluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 276)

Ethyl 2,6-difluorobenzimidate (2.20 g) and triethylamine (1.20 g) are dissolved in toluene (50 ml) and 3-chloro-4-(4-trifluoromethylbenzyloxy)benzoyl chloride (3.50 g) is added dropwise thereto at room temperature with stirring, which is stirred at 100 °C for 3 hours. After cooling to room temperature, the reaction solution is added with toluene (50 ml) and washed with dilute hydrochloric acid and saline and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (0.90 g) and stirred at 100 °C for 3 hours. On completion of the reaction, the reaction mixture is washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 2.00 g of desired compound (melting point: 171.0-177.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.00 (3H, s)
5.20 (2H, s)
6.65 - 7.90 (10H, m)

Example 38 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(4-trifluoromethoxybenzyloxy)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 277)

Ethyl 2-chloro-6-fluorobenzimidate (2.40 g) and triethylamine (1.20 g) are dissolved in toluene (50 ml) and 3-chloro-4-(4-trifluoromethoxybenzyloxy)benzoyl chloride (3.70 g) is added dropwise thereto at room temperature with stirring, which is stirred at 100 °C for 3 hours. After cooling to room temperature, the reaction solution is added with toluene (50 ml) and washed with dilute hydrochloric acid and saline and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (0.90 g) and stirred at 100 °C for 3 hours. On completion of the reaction, the reaction mixture is

washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 2.50 g of desired compound (refractive index: 1.5680).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.00 (3H, s)
5.10 (2H, s)
6.85 - 7.90 (10H, m)

Example 39 Preparation of 5-[3-chloro-4-(4-trifluoromethoxybenzyloxy)phenyl]-3-(2,6-difluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 278)

Ethyl 2,6-difluorobenzimidate (2.20 g) and triethylamine (1.20 g) are dissolved in toluene (50 ml) and 3-chloro-4-(4-trifluoromethoxybenzyloxy)benzoyl chloride (3.70 g) is added dropwise thereto at room temperature with stirring, which is stirred at 100 °C for 3 hours. After cooling to room temperature, the reaction solution is added with toluene (50 ml) and washed with dilute hydrochloric acid and saline and the organic layer is dried over anhydrous magnesium sulfate. The organic layer is added with monomethyl hydrazine (0.90 g) and stirred at 100 °C for 3 hours. On completion of the reaction, the reaction mixture is washed with dilute hydrochloric acid and saline, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 2.50 g of desired compound (melting point: 132.0-136.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.00 (3H, s)
5.10 (2H, s)
6.70 - 8.20 (10H, m)

Example 40 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[2-chloro-4-(3-chloro-5-trifluoromethylpyridine-2-yloxymethyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 317)

3-(2-chloro-6-fluorophenyl)-5-(2-chloro-4-hydroxymethylphenyl)-1-methyl-1H-1,2,4-triazole (0.50 g) is dissolved in 1,2-dimethoxyethane (20 ml) and cooled to 0 °C, to which is added sodium hydride (60%, 0.07 g) and the reaction mixture is stirred for 15 minutes. Then, a solution of 2,3-dichloro-5-trifluoromethyl pyridine (0.34 g) in 1,2-dimethoxyethane (10 ml) is added dropwise and stirred for 3 hours. On completion of the reaction, the reaction solution is warmed to room temperature and poured into water and extracted with ether. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 0.62 g of desired compound.
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.83 (3H, s)
5.50 (2H, s)
6.83 - 8.37 (8H, m)

Example 41 Preparation of 5-[3-chloro-4-(3,5-dichloropyridine-2-yloxymethyl)phenyl]-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 330)

Sodium hydride (60%, 0.12 g) is added to 1,2-dimethoxyethane (50 ml) and a solution of 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-hydroxymethylphenyl)-1-methyl-1H-1,2,4-triazole (1.00 g) in 1,2-dimethoxyethane (20 ml) is added dropwise thereto at -5 °C and stirred for 20 minutes. The reaction solution is added dropwise with a solution of 2,3,5-trichloropyridine (0.60 g) in 1,2-dimethoxyethane (20 ml) at -5 °C for 10 minutes with stirring. The reaction mixture is warmed to room temperature, poured into water and extracted with ethyl acetate. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 0.40 g of desired compound (melting point: 153.0-155.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.06 (3H, s)
5.48 (2H, s)
6.75 - 7.30 (3H, m)
7.50 - 8.00 (5H, m)

Example 42 Preparation of 5-[3-chloro-4-(3-chloro-5-trifluoromethylpyridine-2-yloxymethyl)phenyl]-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 332)

Sodium hydride (60%, 0.16 g) is added to 1,2-dimethoxyethane (50 ml) and a solution of 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-hydroxymethylphenyl)-1-methyl-1H-1,2,4-triazole (1.20 g) in 1,2-dimethoxyethane (20 ml) is added dropwise thereto at -5 °C with stirring. To the reaction solution is added dropwise a solution of 2,3-dichloro-5-trifluoromethyl pyridine (0.80 g) in 1,2-dimethoxyethane (20 ml) at -5 °C for 10 minutes, which is further stirred for 15 minutes. On completion of the reaction, the reaction solution is warmed to room temperature, poured into water and extracted with ethyl acetate. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 1.10 g of desired compound (melting point: 47.0-49.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.06 (3H, s)
5.57 (2H, s)
6.84 - 7.50 (3H, m)
7.60 - 7.75 (4H, m)
8.30 (1H, s)

Example 43 Preparation of 5-[3-chloro-4-(5-trifluoromethylpyridine-2-yloxymethyl)phenyl]-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 334)

Sodium hydride (60%, 0.12 g) is added to 1,2-dimethoxyethane (50 ml) and a solution of 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-hydroxymethylphenyl)-1-methyl-1H-1,2,4-triazole (1.00 g) in 1,2-dimethoxyethane (20 ml) is added dropwise thereto at -5 °C, which is stirred for 15 minutes. To the reaction solution is added dropwise a solution of 2-chloro-5-trifluoromethyl pyridine (0.60 g) in 1,2-dimethoxyethane (20 ml) at -5 °C, which is stirred for 15 minutes. The reaction mixture is warmed to room temperature, poured into water and extracted with ethyl acetate. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 0.80 g of desired compound (refractive index: 1.5879).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.06 (3H, s)
5.57 (2H, s)
6.75 - 8.42 (9H, m)

Example 44 Preparation of 5-[4-chloro-3-(5-trifluoromethylpyridine-2-yloxy)phenyl]-3-(2,6-difluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 377)

A mixture of N-methyl-N-(benzenesulfonyl)-2,6-difluorobenzohydrazonoyl chloride (0.90 g), 4-chloro-3-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (0.90 g), anhydrous iron (III) chloride (0.50 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.30 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.02 (3H, s)
6.64 - 7.98 (8H, m)
8.20 - 8.38 (1H, m)

Example 45 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[4-chloro-3-(5-trifluoromethylpyridine-2-yloxy)-phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 378)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.00 g), 4-chloro-3-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (0.90 g), anhydrous iron (III) chloride (0.50 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under

reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.40 g of desired compound.
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.12 (3H, s)
6.92 - 8.11 (8H, m)
8.33 - 8.50 (1H, m)

Example 46 Preparation of 3-(2-chlorophenyl)-5-[2-chloro-4-(5-trifluoromethylpyridine-2-yloxy)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 389)

A mixture of N-methyl-N-(benzenesulfonyl)-2-chlorobenzohydrazonoyl chloride (2.05 g), 2-chloro-4-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (1.88 g), anhydrous iron (III) chloride (1.07 g) and o-dichlorobenzene (10 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (300 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.87 g of desired compound (melting point: 104.0-108.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.88 (3H, s)
6.90 - 8.40 (10H, m)

Example 47 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[2-chloro-4-(5-trifluoromethylpyridine-2-yloxy)-phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 390)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (2.25 g), 2-chloro-4-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (1.88 g), anhydrous iron (III) chloride (1.07 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (300 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 1.54 g of desired compound (melting point: 139.0-141.0 °C).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.90 (3H, s)
6.90 - 8.40 (9H, m)

Example 48 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3,5-dichloro-4-(3-chloro-5-trifluoromethylpyridine-2-yloxy)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 401)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.00 g), 3,5-dichloro-4-(3-chloro-5-trifluoromethylpyridine-2-yloxy)benzonitrile (1.00 g), anhydrous iron (III) chloride (0.50 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.60 g of desired compound.
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.10 (3H, s)
6.73 - 7.52 (3H, m)
7.80 (2H, s)
7.97 - 8.10 (1H, m)
8.12 - 8.26 (1H, m)

Example 49 Preparation of 5-[3-chloro-4-(3-chloro-5-trifluoromethylpyridine-2-yloxy)phenyl]-3-(2,6-difluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 409)

A mixture of N-methyl-N-(benzenesulfonyl)-2,6-difluorobenzohydrazonoyl chloride (0.90 g), 3-chloro-4-(3-chloro-5-trifluoromethylpyridine-2-yloxy)benzonitrile (0.90 g), anhydrous iron (III) chloride (0.50 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is

dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.70 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.10 (3H, s)
6.72 - 8.06 (7H, m)
8.14 - 8.30 (1H, m)

Example 50 Preparation of 5-[3-chloro-4-(3-chloro-5-trifluoromethylpyridine-2-yloxy)phenyl]-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 410)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (0.90 g), 3-chloro-4-(3-chloro-5-trifluoromethylpyridine-2-yloxy)benzonitrile (0.80 g), anhydrous iron (III) chloride (0.40 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.60 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.16 (3H, s)
6.92 - 8.16 (7H, m)
8.24 - 8.40 (1H, m)

Example 51 Preparation of 5-[3-chloro-4-(5-trifluoromethylpyridine-2-yloxy)phenyl]-3-(2,6-difluorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 412)

A mixture of N-methyl-N-(benzenesulfonyl)-2,6-difluorobenzohydrazonoyl chloride (1.72 g), 3-chloro-4-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (1.50 g), anhydrous iron (III) chloride (0.85 g) and o-dichlorobenzene (10 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (200 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent and washed with hexane to give 1.25 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

3.11 (3H, s)
6.80 - 8.40 (9H, m)

Example 52 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-chloro-4-(5-trifluoromethylpyridine-2-yloxy)-phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 413)

To N,N-dimethylformamide (50 ml) are added 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-hydroxyphenyl)-1-methyl-1H-1,2,4-triazole (3.04 g), 2-chloro-5-trifluoromethyl pyridine (1.70 g) and potassium carbonate (1.40 g), which is heated at 120 °C for 2 hours with stirring. After cooling to room temperature, the reaction solution is poured into water and extracted with ethyl acetate, and the layer is washed with water and dried over anhydrous magnesium sulfate. After the concentration under reduced pressure, the crude solid is purified by silica gel column chromatography using mixed solution of hexane-ethyl acetate as eluent to give 3.30 g of desired compound (melting point: 116.0-117.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.10 (3H, s)
6.90 - 8.30 (9H, m)

Example 53 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-perfluorobutyl-4-(3-chloro-5-trifluoromethyl-pyridine-2-yloxymethyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 451)

3-(2-chloro-6-fluorophenyl)-1-methyl-5-(3-perfluorobutyl-4-hydroxymethylphenyl)-1H-1,2,4-triazole (1.00 g) is dissolved in 1,2-dimethoxyethane (20 ml) and cooled to 0 °C. It is added with sodium hydride (60%, 0.08 g) and stirred for 30 minutes. A solution of 2,3-dichloro-5-trifluoromethyl pyridine (0.44 g) in 1,2-

dimethoxyethane (10 ml) is added dropwise thereto and stirred for 3 hours. On completion of the reaction, the reaction solution is warmed to room temperature, poured into water and extracted with ether. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 1.00 g of desired compound (melting point: 123.0-127.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.08 (3H, s)
5.73 (2H, s)
6.85 - 7.40 (4H, m)
7.75 - 8.27 (4H, m)

Example 54 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-perfluorobutyl-4-(5-trifluoromethylpyridine-2-yloxymethyl)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 453)

3-(2-chloro-6-fluorophenyl)-1-methyl-5-(3-perfluorobutyl-4-hydroxymethylphenyl)-1H-1,2,4-triazole (1.00 g) is dissolved in 1,2-dimethoxyethane (20 ml) and cooled to 0 °C. It is added with sodium hydride (60%, 0.08 g) and stirred for 30 minutes. A solution of 2-chloro-5-trifluoromethyl pyridine (0.36 g) in 1,2-dimethoxyethane (10 ml) is added dropwise thereto and stirred for 5 hours. On completion of the reaction, the reaction solution is warmed to room temperature, poured into water and extracted with ether. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography to give 0.70 g of desired compound (refractive index: 1.5020).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

4.12 (3H, s)
5.70 (2H, s)
6.80 - 8.53 (9H, m)

Example 55 Preparation of 3-(2-chloro-6-fluorophenyl)-1-methyl-5-[3-methyl-4-(4-trifluoromethoxyphenyl)-phenyl]-1H-1,2,4-triazole (Compound No. 457)

A mixture of N-methyl-N-(methanesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.50 g), 3-methyl-4-(4-trifluoromethoxyphenyl)benzonitrile (1.42 g), anhydrous iron (III) chloride (0.90 g) and chlorobenzene (10 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (300 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent and washed with hexane to give 1.64 g of desired compound (melting point: 117.0-122.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

2.23 (3H, s)
4.10 (3H, s)
6.90 - 7.70 (10H, m)

Example 56 Preparation of 3-(2-chlorophenyl)-1-methyl-5-[4-methyl-3-(5-trifluoromethylpyridine-2-yloxy)-phenyl]-1H-1,2,4-triazole (Compound No. 509)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-benzohydrazonoyl chloride (1.1 g), 4-methyl-3-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (1.00 g), anhydrous iron (III) chloride (0.60 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.50 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

2.26 (3H, s)
4.07 (3H, s)
6.95 - 8.16 (9H, m)
8.36 - 8.52 (1H, m)

Example 57 Preparation of 3-(2-chloro-6-fluorophenyl)-1-methyl-5-[4-methyl-3-(5-trifluoromethylpyridine-2-yloxy)phenyl]-1H-1,2,4-triazole (Compound No. 510)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.10 g), 4-methyl-3-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (0.90 g), anhydrous iron (III) chloride (0.60 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.60 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

2.24 (3H, s)
4.12 (3H, s)
6.85 - 8.07 (8H, m)
8.36 - 8.52 (1H, m)

Example 58 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[4-methoxy-3-(5-trifluoromethylpyridine-2-yloxy)-phenyl]-1H-1,2,4-triazole (Compound No. 516)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (1.00 g), 4-methoxy-3-(5-trifluoromethylpyridine-2-yloxy)-benzonitrile (0.90 g), anhydrous iron (III) chloride (0.50 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.50 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

3.85 (3H, s)
4.14 (3H, s)
6.92 - 8.08 (8H, m)
8.35 - 8.52 (1H, m)

Example 59 Preparation of 3-(2,6-difluorophenyl)-5-[4-methoxy-3-(5-trifluoromethylpyridine-2-yloxy)phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 517)

A mixture of N-methyl-N-(benzenesulfonyl)-2,6-difluorobenzohydrazonoyl chloride (0.70 g), 4-methoxy-3-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (0.80 g), anhydrous iron (III) chloride (0.50 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.30 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

3.84 (3H, s)
4.10 (3H, s)
6.75 - 8.10 (8H, m)
8.30 - 8.47 (1H, m)

Example 60 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-(3-chloro-5-trifluoromethylpyridine-2-yloxy)-5-methoxyphenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 523)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (0.90 g), 3-(3-chloro-5-trifluoromethylpyridine-2-yloxy)-5-methoxybenzonitrile (0.80 g), anhydrous iron (III) chloride (0.80 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.60 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.92 (3H, s)
4.12 (3H, s)
6.85 - 7.53 (6H, m)
7.96 - 8.06 (1H, m)
8.23 - 8.40 (1H, m)

Example 61 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[3-methoxy-4-(5-trifluoromethylpyridine-2-yloxy)-phenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 524)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (3.75 g), 3-methoxy-4-(5-trifluoromethylpyridine-2-yloxy)benzonitrile (3.03 g), anhydrous iron (III) chloride (1.70 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (300 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent and washed with hexane to give 2.80 g of desired compound.
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
2.97 (3H, s)
3.07 (3H, s)
6.90 - 8.30 (9H, m)

Example 62 Preparation of 3-(2-chloro-6-fluorophenyl)-5-[4-(3-chloro-5-trifluoromethylpyridine-2-yloxy)-3-methoxyphenyl]-1-methyl-1H-1,2,4-triazole (Compound No. 526)

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (0.90 g), 4-(3-chloro-5-trifluoromethylpyridine-2-yloxy)-3-methoxybenzonitrile (0.90 g), anhydrous iron (III) chloride (0.50 g) and o-dichlorobenzene (5 ml) is stirred at an oil bath temperature of 140 °C for 30 minutes. After cooling, it is dissolved in chloroform (100 ml) and washed with dilute hydrochloric acid, dilute aqueous solution of sodium hydroxide and saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.60 g of desired compound.
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
3.81 (3H, s)Z
4.13 (3H, s)
6.90 - 7.60 (6H, m)
7.93 - 8.06 (1H, m)
8.16 - 8.30 (1H, m)

Example 63 Preparation of 5-(2-chloro-4-hexylphenyl)-3-(2-chlorophenyl)-1-methyl-1H-1,2,4-triazole (Compound No. 40)

A mixture of N-methyl-N-phenylsulfonyl-2-chlorobenzamidrazone (3.24 g) and 2-chloro-4-hexylbenzoyl chloride (3.37 g) is stirred at an oil bath temperature of 170-180 °C for 4 hours. After cooling to room temperature, it is added with ethyl acetate and the organic layer is washed with water. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 0.85 g of desired compound (refractive index: 1.5830).
NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
0.90 (3H, t)
1.00 - 1.90 (8H, m)
2.67 (2H, t)
3.87 (3H, s)
7.10 - 7.60 (6H, m)
7.90 - 8.05 (1H, m)

Reference Example 1 Preparation of N-methyl-N-(benzenesulfonyl)-2-chlorobenzamidorazone

N-methyl-N-(benzenesulfonyl)-2-chlorobenzhydrazonoyl chloride (17.2 g) is dissolved in N,N-dimethylformamide (100 ml) and stirred at 60-70 °C for 3 hours while introducing ammonia gas thereinto. After cooling, it is dissolved in 500 ml of ethyl acetate and washed with water. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure and the crude solid is washed with hexane to give 15.4 g of desired compound (melting point: 94.0-96.0 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

2.75 (3H, s)
5.80 (2H, s)
7.10 - 8.00 (9H, m)

Reference Example 2 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-methylphenyl)-1-methyl-1H-1,2,4-triazole

A mixture of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (7.50 g), 3-chloro-4-methylbenzonitrile (3.33 g), anhydrous aluminum chloride (3.00 g) and o-dichlorobenzene (20 ml) is stirred at an oil bath temperature of 140 °C for 1 hour. After cooling, it is washed with saline. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 3.70 g of desired compound.

Reference Example 3 Preparation of 5-(4-bromomethyl-3-chlorophenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1,2,4-triazole

To carbon tetrachloride (50 ml) are added 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-methylphenyl)-1-methyl-1H-1,2,4-triazole (3.37 g), N-bromosuccinicimide (2.14 g) and azobisisobutyronitrile (30 mg), which are heated under reflux for 1 hour with stirring. After cooling of the reaction mixture, insoluble matter is filtered off, and the filtrate is concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 2.51 g of desired compound (melting point 124.0-126.0 °C).

Reference Example 4 Preparation of 5-(4-acetoxymethyl-3-chlorophenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole

To N,N-dimethylformamide (200 ml) are added 5-(4-bromomethyl-3-chlorophenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (24.3 g) and potassium acetate (29.0 g), which are stirred at 130 °C for 3 hours. The reaction mixture is cooled to room temperature, poured into water and extracted with ethyl acetate. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The concentrate is purified by silica gel column chromatography using mixed solvent of hexane-ethyl acetate as eluent to give 11.8 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

2.13 (3H, s)
4.07 (3H, s)
5.24 (2H, S)
6.90 - 7.85 (6H, m)

Reference Example 5 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-hydroxymethylphenyl)-1-methyl-1H-1,2,4-triazole

5-(4-acetoxymethyl-3-chlorophenyl)-3-(2-chloro-6-fluorophenyl)-1-methyl-1H-1,2,4-triazole (11.1 g) is dissolved in a mixed solvent of ethanol (50 ml) and water (20 ml), added with sodium hydroxide (2.3 g) and heated under reflux for 1 hour with stirring. After cooling to room temperature, the reaction mixture is added with ethyl acetate and washed with water. Then, it is dried over anhydrous magnesium sulfate and concentrated under reduced pressure to obtain crude solid. The crude solid is washed with mixed solvent of hexane-ethanol to give 6.7 g of desired compound (melting point: 111 113 °C).

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)

3.50 (1H, t)

4.05 (3H, s)
4.75 (2H, d)
6.95 - 7.70 (6H, m)

Reference Example 6 Preparation of 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-hydroxyphenyl)-1-methyl-1H-1,2,4-triazole

To o-dichlorobenzene (200 ml) are added 3-chloro-4-methoxybenzonitrile (40.3 g) and anhydrous iron (III) chloride (42.2 g), which are heated at 120 °C with stirring. To this mixture is added dropwise a solution of N-methyl-N-(p-toluenesulfonyl)-2-chloro-6-fluorobenzohydrazonoyl chloride (62.2 g) in o-dichlorobenzene (300 ml) at 120 °C for 30 minutes with stirring, which are further stirred at 120 °C for 3 hours. After cooling to room temperature, the reaction mixture is poured into a large amount of water and extracted with chloroform. The organic layer is added with aqueous solution of 10% NaOH (200 ml) and aqueous solution of 25% ammonia (200 ml) and stirred at 50 °C for 1 hour. After cooling to room temperature, the organic layer is washed with water, dried over anhydrous magnesium sulfate, concentrated under reduced pressure to give 70.5 g of a crude product of 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-methoxyphenyl)-1-methyl-1H-1,2,4-triazole.

To benzene (300 ml) are added the crude product of 3-(2-chloro-6-fluorophenyl)-5-(3-chloro-4-methoxyphenyl)-1-methyl-1H-1,2,4-triazole (70.5 g) and anhydrous aluminum chloride (80.0 g), which are heated under reflux for 3 hours with stirring. After cooling to room temperature, the reaction mixture is poured into ice water and extracted with toluene. The organic layer is washed with water, extracted with aqueous solution of 20% NaOH, and the aqueous layer is acidified by adding a concentrated sulfuric acid little by little while cooling with ice and extracted with ethyl acetate. The organic layer is washed with water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give 64.2 g of desired compound.

NMR data (60 MHz, $CDCl_3$ solvent, δ value: ppm)
4.10 (3H, s)
7.00 - 7.90 (6H, m)
10.85 (1H, s)

The insecticide and acaricide according to the invention contain the triazole derivative shown by the general formula [I] as an active ingredient.

When the compounds according to the invention are used in the insecticide and acaricide, these compounds themselves may be used alone, or may be compounded with a carrier, a surfactant, a dispersing agent, an adjuvant or the like, which is usually used in the formulation, to form dust, wettable powder, emulsion, fine powder, granulate or the like. As the carrier used in the formulation, mention may be made of a solid carrier such as zeeklite, talc, bentonite, clay, kaolin, diatomaceous earth, white carbon, vermiculite, calcium hydroxide, quartz sand, ammonium sulfate, urea or the like; and a liquid carrier such as isopropyl alcohol, xylene, cyclohexane, methylnaphthalene or the like. As the surfactant and dispersing agent, mention may be made of a metal salt of alkylbenzene sulfonic acid, a metal salt of dinaphthylmethane disulfonic acid, a salt of sulfuric acid ester of alcohol, alkylarylsulfonate, lignin sulfonate, polyoxyethylene glycol ether, polyoxyethylene alkylaryl ether, polyoxyethylene sorbitan monoalkylate and the like. As the adjuvant, mention may be made of carboxymethyl cellulose, polyethylene glycol, gum arabic and the like. In use, the active ingredient is sprayed by diluting to a proper concentration or directly applied.

The insecticide and acaricide according to the invention may be used by spraying onto stem and leaves, by applying to soil, by applying to a nursery box, by spraying onto water surface or the like. The compounding ratio of the active ingredient may properly be selected, if necessary, but in case of the dust or granulate, it may properly be selected from a range of 0.05-20% (by weight), preferably 0.1% - 10% (by weight). In case of the emulsion or wettable powder, it is suitable within a range of 0.5-80% (by weight). Preferably, it may properly be selected within a range of 1-60% (by weight).

The amount of the insecticide or acaricide according to the invention applied is dependent upon the kind of the compound used, injurious insect to be controlled, tendency and degree of insect injury, environmental condition, kind of formulation used and the like. When it is directly used as dust or granulate, the amount of the active ingredient is properly selected within a range of 0.05 g - 5 kg, preferably 0.1 g - 1 kg per 10 are. Furthermore, when it is used in form of a liquid as emulsion or wettable powder, the amount is properly selected within a range of 0.1-5,000 ppm, preferably 1-1,000 ppm. And also, the insecticide and acaricide according to the invention may be used by mixing with other insecticide, fungicide, fertilizer and plant growth regulator.

Then, the formulation will concretely be described with respect to typical examples. In this case, the kind of the compounds and additives and the compounding ratio are not limited to these examples and may be varied within wide ranges. Moreover, % is by weight otherwise specified.

Formulation Example 1 Emulsion

An emulsion is prepared by uniformly dissolving 30% of the compound (41), 20% of cyclohexanone, 11% of polyoxyethylene alkylaryl ether, 4% of calcium alkylbenzene sulfonate and 35% of methylnaphthaline.

Formulation Example 2 Wettable powder

A wettable powder is prepared by uniformly mixing and pulverizing 40% of the compound (389), 15% of diatomaceous earth, 15% of clay, 25% of white carbon, 2% of sodium dinaphthylmethane disulfonate and 3% of sodium lignin sulfonate.

Formulation Example 3 Dust

A dust is prepared by uniformly mixing and pulverizing 2% of the compound (116), 5% of diatomaceous earth and 93% of clay.

Formulation Example 4 Granulate

5% of the compound (41), 2% of sodium salt of lauryl alcohol sulfuric acid ester, 5% of sodium lignin sulfonate, 2% of carboxymethyl cellulose and 86% of clay are mixed and pulverized uniformly. Then, 100 parts by weight of this mixture is added and kneaded with 20 parts by weight of water and shaped into granulates of 14-32 mesh through an extrusion type granulating machine and dried to prepare granulates.

[EFFECT OF THE INVENTION]

The triazole derivatives according to the invention are effective for the control of planthoppers such as brown planthopper, white-backed planthopper, small brown planthopper and the like; leafhoppers such as green rice leafhopper, tea green leafhopper and the like; aphids such as cotton aphid, green peach aphid, cabbage aphid and the like; whiteflies such as greenhouse whitefly and the like; hemipteran injurious insects such as scales, e.g. mulberry scale or the like and bugs, e.g. corbett rice bug or the like; lepidopteran injurious insects such as diamond-back moth, beet armyworm, common cutworm and the like; dipteran injurious insects such as house fly, mosquito and the like; elytron injurious insects such as rice plant weevil, azuki bean weevil, cucurbit leaf beetle and the like; orthopteran injurious insects such as American cockroach, German cockroach and the like; and mites such as two-spotted spider mite, Kanzawa spider mite, citrus red mite and the like. Especially, they have a very excellent controlling effect against mites such as two-spotted spider mite, Kanzawa spider mite, citrus red mite and the like; and aphids such as cotton aphid, green peach aphid, cabbage aphid and the like.

The effect of the compound according to the invention will be described with respect to the following test examples. Moreover, compounds shown by chemical formula 3 in JP-A-56-154464 as comparative chemicals a-b and compounds shown in Technical Report RD278004 as comparative chemicals c-d are used in the same formulation as in the test compounds.

Comparative chemical a: 3,5-bis(2-chlorophenyl)-1-methyl-1H-1,2,4-triazole
Comparative chemical b: 3-(2-chlorophenyl)-1-methyl-5-(3-methylphenyl)-1H-1,2,4-triazole
Comparative chemical c: 3-(2-chlorophenyl)-1-methyl-5-(3-chloro-2-methylphenyl)-1H-1,2,4-triazole
Comparative chemical d: 3-(2-chloro-6-fluorophenyl)-1-methyl-5-(2,4-dichlorophenyl)-1H-1,2,4-triazole

Test Example 1 Acaricidal test for two-spotted spider mite

The wettable powder prepared according to Formulation Example 2 is diluted with water so that the concentration of the active ingredient is 500 ppm. In the resulting diluted wettable powder are immersed soy bean seedlings previously inoculated with adults of two-spotted spider mite and then dried in air. After the treatment, the soy bean seedlings are placed in a thermostatic chamber of 25 °C for 14 days and then the number of living adults is counted to calculate the percentage of control efficiency according to a

calculation formula (1). The control efficiency is evaluated according to a standard of Table 3. The results are shown in Table 4. Moreover, the test is carried out by double series.

Calculation formula (1)

$$\text{Control efficiency} = \left(1 - \frac{\text{number of adults before treatment at non-treated spot}}{\text{number of adults before treatment at treated spot}} \times \frac{\text{number of adults after the measured days at treated spot}}{\text{number of adults after the measured days at non-treated spot}} \times 100 \right)$$

Table 3

Control efficiency	Evaluation
control efficiency of not less than 90%	A
control efficiency of not less than 70% but less than 90%	B
control efficiency of not less than 50% but less than 70%	C
control efficiency of less than 50%	D

Table 4

Compound No.	Evaluation	Compound No.	Evaluation	Compound No.	Evaluation
1	A	157	A	391	A
2	A	158	A	395	A
5	A	161	A	400	A
6	A	196	A	401	A
15	A	197	A	403	A
16	B	199	A	404	A
18	B	201	A	406	A
19	B	241	A	409	A
24	B	267	A	410	A
28	B	268	A	412	A
29	A	269	A	413	A
34	B	273	A	419	A
40	A	274	A	451	B
41	A	275	A	453	B
44	A	276	A	456	A
47	A	277	A	457	A
48	A	278	A	489	A
50	A	313	B	496	A
65	B	317	A	497	A
66	A	319	A	498	A
68	B	320	A	508	A
72	B	321	A	509	A
73	B	322	A	510	A
74	A	330	A	511	A
76	A	332	A	516	A
77	A	334	A	517	A
78	A	338	A	522	A
87	A	345	B	523	A
118	A	373	A	524	A
119	A	374	A	526	A
120	A	376	A	531	B
121	B	377	A	Comparative chemical b	D
123	A	378	A	Comparative chemical c	D
138	A	389	A	Comparative chemical d	D
145	A	390	B		

Test Example 2 Insecticidal test for diamond-back moth

The wettable powder prepared according to Formulation Example 2 is diluted with water so that the concentration of the active ingredient is 500 ppm. Cabbage leaves are immersed in the resulting diluted solution, dried in air and then placed in a vinyl chloride cup. Ten larvae of diamond-back moth are released in the cup and thereafter a cover is placed thereon. Then, the cup is placed in a thermostatic chamber of 25°C for 6 days, and the number of larvae died is counted to calculate the percentage of mortality

according to a calculation formula (2). The mortality is evaluated according to a standard of Table 5. The results are shown in Table 6. Moreover, the test is carried out by double series.

Calculation Formula (2)

$$\text{Mortality} = \frac{\text{number of larvae died in the measured days}}{\text{number of larvae before treatment}} \times 100$$

Table 5

Mortality	Evaluation
mortality of not less than 90%	A
mortality of not less than 70% but less than 90%	B
mortality of not less than 50% but less than 70%	C
mortality of less than 50%	D

Table 6

Compound No.	Evaluation	Compound No.	Evaluation	Compound No.	Evaluation
51	A	320	A	457	A
78	A	321	A	496	A
116	A	322	A	497	A
118	A	330	A	498	A
157	A	332	A	514	A
158	A	334	A	524	A
161	A	338	A	526	A
196	A	376	A	Comparative chemical a	D
197	A	391	A	Comparative chemical c	D
199	A	409	A	Comparative chemical d	D
276	A	413	A		
317	A	419	A		
319	A	456	A		

Test Example 3 Insecticidal test for brown planthopper

The wettable powder prepared according to Formulation Example 2 is diluted with water so that the concentration of the active ingredient is 500 ppm. In the resulting diluted wettable powder are immersed rice stems and leaves, which are then dried in air and placed in a test tube. In the test tube are released 10 larvae of brown planthopper and then the opening of the test tube is plugged with absorbent wadding. Thereafter, the test tube is placed in a thermostatic chamber of 25 °C for 6 days and then the number of larvae died is counted to calculate the percentage of mortality according to the calculation formula (2). The mortality is evaluated according to a standard of Table 5. The results are shown in Table 7. Moreover, the test is carried out by double series.

Table 7

Compound No.	Evaluation
2	A
78	B
116	A
311	B
378	B
395	B
517	A
524	A
Comparative chemical a	D
Comparative chemical b	C
Comparative chemical d	D

Test Example 4 Insecticidal test for cotton aphid

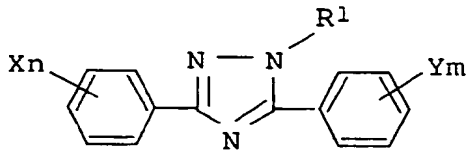
The wettable powder prepared according to Formulation Example 2 is diluted with water so that the concentration of the active ingredient is 100 ppm. In the resulting diluted wettable powder are immersed cucumber seedlings previously inoculated with larvae of cotton aphid and then dried in air. After the treatment, the cucumber seedlings are placed in a thermostatic chamber of 25 °C for 3 days and then the number of larvae died is counted to calculate the percentage of mortality according to the calculation formula (2). The mortality is evaluated according to a standard of Table 5. The results are shown in Table 8. Moreover, the test is carried out by double series.

Table 8

Compound No.	Evaluation	Compound No.	Evaluation	Compound No.	Evaluation
1	B	74	A	374	A
2	A	76	A	376	A
4	A	77	A	377	A
8	B	78	A	378	A
15	A	87	A	389	A
16	A	118	A	390	A
18	A	121	A	391	A
19	A	123	A	395	A
24	A	138	A	404	B
28	A	145	A	412	A
29	A	157	A	413	A
36	A	158	A	419	A
37	A	161	A	456	A
38	A	201	A	457	A
40	A	267	A	480	A
41	A	274	B	496	A
42	A	277	A	497	A
43	A	313	A	509	A
44	A	317	A	510	A
51	A	319	A	511	A
63	B	320	A	513	A
64	A	321	A	516	A
65	A	322	A	517	A
66	A	332	A	524	A
68	A	334	A	526	A
72	A	338	A	531	A

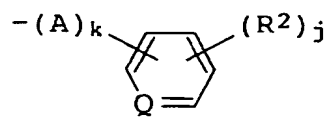
Claims

1. A triazole derivative represented by a general formula:



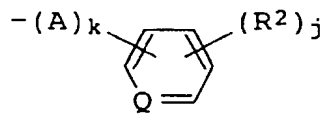
{wherein R^1 is an alkyl group, X is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group, a nitro group, a cyano group or a trifluoromethyl group, n is an integer of 1-5, provided that when n is 2 or more, X may optionally be same or different combination, Y is a halogen atom, a nitro group, an alkyl group, an alkoxy group, an alkoxyalkyl group, an alkoxyalkoxy group, an alkylthio group, an alkylsulfinyl group, an alkylsulfonyl group, an alkylthioalkyl group, an alkylsulfinylal-

kyl group, an alkylsulfonylalkyl group, a cycloalkyl group, a cycloalkylalkyl group, a cycloalkylalkenyl group, a cycloalkylalkynyl group, a haloalkyl group, a haloalkoxy group, a trialkylsilylalkyl group, a trialkylsilylalkoxy group, an alkenyl group, an alkenyloxy group, an alkynyl group, an alkynyloxy group or a group represented by a general formula



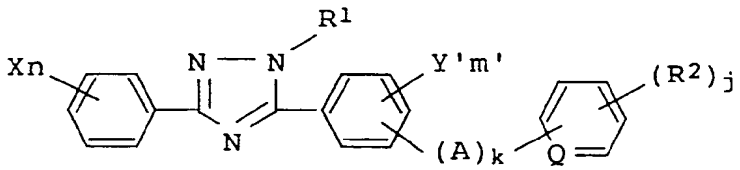
(wherein A is an oxygen atom, a sulfur atom, a lower alkylene group, a lower alkyleneoxy group, an oxy lower alkylene group, a lower alkyleneoxy lower alkylene group, a lower alkylenethio group, a thio lower alkylene group, a vinylene group or an ethynylene group, k is 0 or 1, Q is methine group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, a trifluoromethyl group or trifluoromethoxy group, j is an integer of 1-5, provided that when j is 2 or more, R^2 may optionally be same or different combination), m is an integer of 2-5 and Y may optionally be same or different combination}.

2. A triazole derivative according to claim 1, wherein R^1 is an alkyl group, X is a hydrogen atom or a halogen atom, n is an integer of 1-5 provided that when n is 2 or more, X may optionally be same or different combination, Y is a halogen atom, a nitro group, a C_2-C_{15} alkyl group, a C_2-C_{15} alkoxy group, a C_1-C_2 alkoxy C_1-C_2 alkoxy group, a C_2-C_8 haloalkyl group, a C_2-C_8 haloalkoxy group, a C_2-C_4 alkenyloxy group, a C_2-C_4 alkynyloxy group or a group represented by the formula:



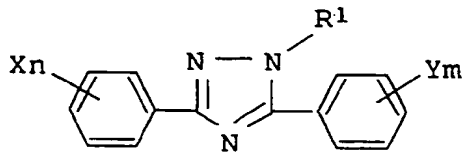
(wherein A is an oxygen atom, a C_1-C_2 alkylene group, a C_1-C_2 alkyleneoxy group or a C_1-C_2 oxyalkylene group, k is 0 or 1, Q is a methine group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, a trifluoromethyl group or a trifluoromethoxy group, j is an integer of 1-5 provided that when j is 2 or more, R^2 may optionally be same or different combination), m is an integer of 2-5 and Y may optionally be same or different combination (provided that when Y is halogen atom, there is no combination of halogen atoms).

3. A triazole derivative according to claim 1 represented by a general formula:

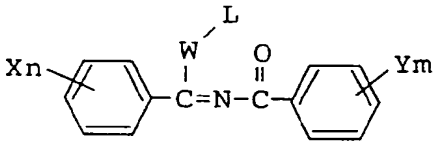


(wherein R^1 is an alkyl group, X is a hydrogen atom or a halogen atom, n is an integer of 1-5 provided that when n is 2 or more, X may optionally be same or different combination, Y' is a halogen atom, a C_1-C_{15} alkyl group, a C_1-C_{15} alkoxy group, a C_1-C_8 haloalkyl group or a C_1-C_8 haloalkoxy group, A is an oxygen atom, a C_1-C_2 alkylene group, a C_1-C_2 alkyleneoxy group or a C_1-C_2 oxyalkylene group, k is 0 or 1, Q is a methine group or a nitrogen atom, R^2 is a hydrogen atom, a halogen atom, a trifluoromethyl group or a trifluoromethoxy group, j is an integer of 1-5 provided that when j is 2 or more, R^2 may optionally be same or different combination, m' is an integer of 1-4 and Y' may optionally be same or different combination).

4. A method of producing a triazole derivative represented by a general formula [I]:



(wherein R^1, X, Y, m and n are the same as defined above), characterized by reacting an N-acylimidate derivative or an N-acylthioimidate derivative represented by a general formula [II]:

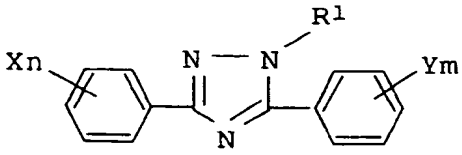


(wherein W is a sulfur atom or an oxygen atom, L is an alkyl group having a carbon number of 1-4, and X, Y, m and n are the same as defined above) with a hydrazine derivative represented by a general formula [III]:

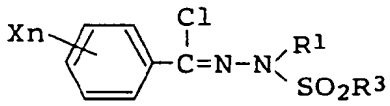
R^1NHNH_2

(wherein R^1 is the same as defined above).

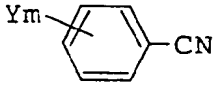
5. A method of producing a triazole derivative represented by a general formula [I]:



(wherein R^1, X, Y, m and n are the same as defined above), characterized by reacting a benzohydrazonoyl chloride derivative represented by a general formula [VI]:

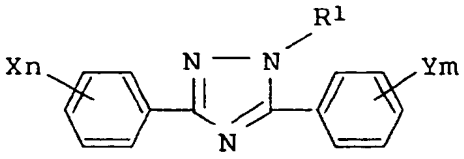


(wherein R^3 is a phenyl group substitutible with an alkyl group having a carbon number of 1-4 or an alkyl group having a carbon number of 1-4, and R^1, X and n are the same as defined above) with a benzonitrile derivative represented by a general formula [VII]:

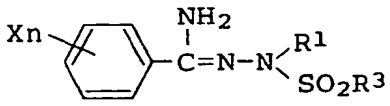


(wherein Y and m are the same as defined above).

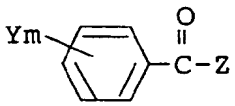
6. A method of producing a triazole derivative represented by a general formula [I]:



(wherein R^1, X, Y, m and n are the same as defined above), characterized by reacting a benzamidrazone derivative of a general formula [VIII]:

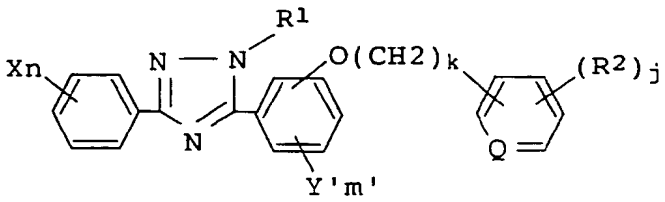


(wherein R^1, R^3, X and n are the same as defined above) with a benzoylhalide derivative of a general formula [V]:

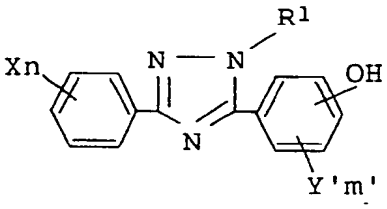


(wherein Z is a halogen atom, and Y and m are the same as defined above).

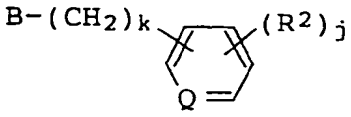
7. A method of producing a triazole derivative represented by a general formula [XII]:



(wherein R^1, R^2, X, Y', Q, j, k, m' and n are the same as defined above), characterized by reacting a compound of a general formula [X]:

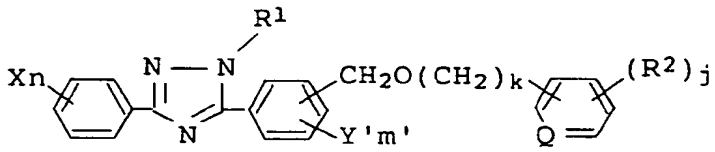


(wherein R^1, X, Y', m' and n are the same as defined above) with a compound of a general formula [XI]:

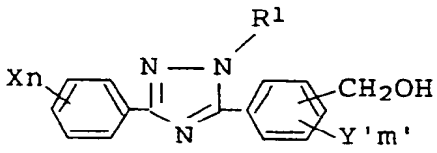


[wherein B is a halogen atom, R^4-SO_2-group or R^4-SO^3-group (wherein R^4 is an alkyl group having a carbon number of 1-4 or a substitutable phenyl group), and R^2, Q, j and k are the same as defined above).

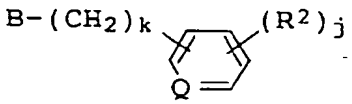
8. A method of producing a triazole derivative represented by a general formula [XVII]:



(wherein R^1, R^2, X, Y', Q, j, k, m' and n are the same as defined above), characterized by reacting a compound of a general formula [XVI]:

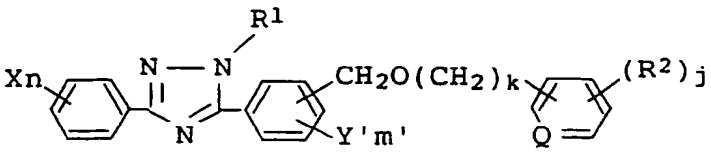


(wherein R^1, X, Y', m' and n are the same as defined above) with a compound of a general formula [XI]:

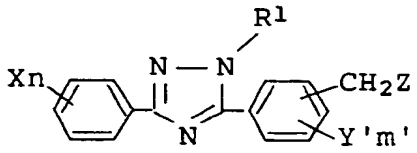


(wherein B, R^2, Q, j and k are the same as defined above).

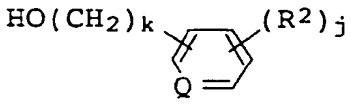
9. A method of producing a triazole derivative represented by a general formula [XVII]:



(wherein R^1, R^2, X, Y', Q, j, k, m' and n are the same as defined above), characterized by reacting a compound of a general formula [XIV]:



(wherein R^1, X, Y', Z, m' and n are the same as defined above) with a compound of a general formula [XVIII]:



(wherein R^2, Q, j and k are the same as defined above).

10. An insecticide comprising a triazole derivative which is claimed in claim 1 as an active ingredient.

11. An acaricide comprising a triazole derivative which is claimed in claim 1 as an active ingredient.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP94/00629

A. CLASSIFICATION OF SUBJECT MATTER

Int. Cl^5 C07D249/08, A01N43/653

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int. Cl^5 C07D249/08, A01N43/653

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X, Y	Research Disclosure Vol. 278, P. 356-357 (June 1987), New 1-Methyl-1, 2, 4-triazoles	1, 2, 4, 10, 11
Y	JP, A, 56-154464 (F.B.C. Ltd.), November 30, 1981 (30. 11. 81), Claim & EP, A, 36711 & US, A, 4414221 & DE, G, 3173083	1, 2, 4, 10, 11
X, Y	JP, A, 61-152661 (F. Hoffmann-La Roche & Co., AG.), July 11, 1986 (11. 07. 86), Claim & FP, A, 185256 & US, A, 4788210 & DE, G, 3581613	1, 2, 4, 10, 11
P	JP, A, 5-310712 (Kumiai Chemical Industry November 22, 1993 (22. 11. 93), Claim, (Family: none)	1, 2, 10, 11

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

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"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
June 20, 1994 (20. 06. 94)	July 12, 1994 (12. 07. 94)
Name and mailing address of the ISA/ Japanese Patent Office	Authorized officer
Facsimile No.	Telephone No.

Form PCT/ISA/210 (second sheet) (July 1992)